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STRUCTURE FILE UPDATES: 30 SEP 2008 HIGHEST RN 1055704-91-0 DICTIONARY FILE UPDATES: 30 SEP 2008 HIGHEST RN 1055704-91-0
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http://www.cas.org/support/stngen/stndoc/properties.html

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=> file zcaplus

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FILE COVERS 1907 - 1 Oct 2008 VOL 149 ISS 14
FILE LAST UPDATED: 30 Sep 2008 (20080930/ED)
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ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

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          149 SEA FILE=ZCAPLUS ABB=ON PLU=ON NUSS J?/AU
L25
          9524 SEA FILE=ZCAPLUS ABB=ON PLU=ON XU W?/AU
             5 SEA FILE=ZCAPLUS ABB=ON PLU=ON L18 AND (L19 OR L20 OR L21 OR
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               L22 OR L23 OR L24 OR L25)
            8 SEA FILE=ZCAPLUS ABB=ON PLU=ON L19 AND (L20 OR L21 OR L22 OR
L27
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		L23 OR L24 OR L25)		
L28	16	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	L20 AND (L21 OR L22 OR L23 OR
		L24 OR L25)		
L29	5	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	L21 AND (L22 OR L23 OR L24 OR
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L33	24	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	(L26 OR L27 OR L28 OR L29 OR
		L30 OR L31 OR L32)		

=> file medline embase biosis wpix FILE 'MEDLINE' ENTERED AT 15:49:50 ON 01 OCT 2008

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		L25)					
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		L30	OR L31 OR L32	)			
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FILE 'ZCAPLUS' ENTERED AT 15:50:05 ON 01 OCT 2008
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10/576653 FILE 'WPIX' ENTERED AT 15:50:05 ON 01 OCT 2008 COPYRIGHT (C) 2008 THOMSON REUTERS PROCESSING COMPLETED FOR L33 PROCESSING COMPLETED FOR L34 L35 31 DUP REM L33 L34 (16 DUPLICATES REMOVED) ANSWERS '1-24' FROM FILE ZCAPLUS ANSWER '25' FROM FILE MEDLINE ANSWER '26' FROM FILE BIOSIS ANSWERS '27-31' FROM FILE WPIX => d ibib abs L35 1-24; d iall L35 25-31 L35 ANSWER 1 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1 ACCESSION NUMBER: 2007:464459 ZCAPLUS <u>Full-text</u> DOCUMENT NUMBER: 146:462283 TITLE: Preparation of pyrimidinones as casein kinase II (CK2) modulators for the treatment of cancer Rice, Kenneth D.; Anand, Neel Kumar; Arcalas, Arlyn; INVENTOR(S): Blazey, Charles M.; Bussenius, Joerg; Chan, Wai Ki Vicky; Du, Hongwang; Epshteyn, Sergey; Ibrahim, Mohamed Abdulkader; Kearney, Patrick; Kennedy, Abigail R.; Kim, Moon Hwan; Manalo, Jean-Claire Limun; Peto, Csaba J.; Tsang, Tsze H.; Tsuhako, Amy Lew; Zhou, Peiwen PATENT ASSIGNEE(S): Exelixis, Inc., USA PCT Int. Appl., 83pp. SOURCE: CODEN: PIXXD2 Patent DOCUMENT TYPE: English LANGUAGE: FAMILY ACC. NUM. COUNT: 3 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE PATENT NO. WO 2007048065 A2 20070426 WO 2007048065 A3 20070628 WO 2006-US41505 20061023 WO 2007048065 A3 20070628 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA AU 2006304874 A1 20070426 AU 2006-304874 20061023 AU 200055 CA 2626789 A1 20070426 CA 2006-2626789 A2 20080730 EP 2006-826578 20061023 EP 1948617 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,

BA, HR, MK, RS

US 2005-729348P P 20051021 WO 2006-US41505 W 20061023 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 146:462283

GΙ

AB Compound I [wherein X = O or S; R1, R2 = (un)substituted aryl, arylamino, pyridinyl, etc., with limitations] or pharmaceutically acceptable salts thereof were prepared as casein kinase II (CK2) modulators. For instance, successive O-protection of 1-(4-hydroxy-3-methylphenyl)ethanone with BnBr, condensation with Me 2-(2-methoxyethoxy)benzoate, cyclocondensation of the resultant 1,3-dicarbonyl with urea, and debenzylation with TFA led to pyrimidinone II as a hydrochloride salt. Representative examples I showed CK2 inhibitory activity with IC50 values of less than 5000 nM. The invented compds. and their pharmaceutical compns. are useful for the treatment of diseases that involve CK2, such as cancer.

L35 ANSWER 2 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2007:438699 ZCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 146:441822

TITLE: 2-Amino-3-sulfonylaminoquinoxaline derivatives as

phosphatidylinositol 3-kinase inhibitors and their preparation, pharmaceutical compositions and use in

the treatment of cancer

INVENTOR(S): Bajjalieh, William; Bannen, Lynne Canne; Brown, S.

David; Kearney, Patrick; Mac, Morrison; Marlowe, Charles K.; Nuss, John M.; Tesfai, Zerom; Wang,

Yong; Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 296pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007044729 WO 2007044729	A2 A3	20070419 20070809	WO 2006-US39574	20061009
W: AE, AG, AL,	AM, AT,	, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,
CN, CO, CR,	CU, CZ,	, DE, DK,	DM, DZ, EC, EE, EG, ES,	FI, GB, GD,
GE, GH, GM,	HN, HR,	, HU, ID,	IL, IN, IS, JP, KE, KG,	KM, KN, KP,
KR, KZ, LA,	LC, LK,	, LR, LS,	LT, LU, LV, LY, MA, MD,	MG, MK, MN,
MW, MX, MY,	MZ, NA,	NG, NI,	NO, NZ, OM, PG, PH, PL,	PT, RO, RS,
RU, SC, SD,	SE, SG,	, SK, SL,	SM, SV, SY, TJ, TM, TN,	TR, TT, TZ,
UA, UG, US,	UZ, VC,	, VN, ZA,	ZM, ZW	
RW: AT, BE, BG,	CH, CY,	, CZ, DE,	DK, EE, ES, FI, FR, GB,	GR, HU, IE,
IS, IT, LT,	LU, LV,	MC, NL,	PL, PT, RO, SE, SI, SK,	TR, BF, BJ,
CF, CG, CI,	CM, GA,	, GN, GQ,	GW, ML, MR, NE, SN, TD,	TG, BW, GH,
GM, KE, LS,	MW, MZ,	, NA, SD,	SL, SZ, TZ, UG, ZM, ZW,	AM, AZ, BY,

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CA	2623	768			A1		2007	0419	С	Α	200	6-	2623	768		2	0061	009
EP	1931	645			A2		2008	0618	E	Ρ	200	6-	8362	52		2	0061	009
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		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PΙ	J, E	PΤ,	RO,	SE,	SI,	SK,	TR,	AL,
		BA,	HR,	MK,	RS													
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OTHER SOURCE(S): MARPAT 146:441822

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$$\begin{array}{c} R^{4} \\ R^{5} \\ R^{5} \\ R^{1} \\ R^{2} \\ R^{3} \\ R^{1} \\ R^{1} \\ R^{1} \\ R^{1} \\ R^{2} \\ R^{3} \\ R^{1} \\ R^{2} \\ R^{2} \\ R^{3} \\ R^{1} \\ R^{1} \\ R^{2} \\ R^{2} \\ R^{3} \\ R^{1} \\ R^{2} \\ R^{3} \\ R^{3} \\ R^{2} \\ R^{3} \\ R^{3} \\ R^{2} \\ R^{3} \\$$

The invention comprises 2-amino-3-sulfonylaminoquinoxaline derivs. of formula AB I, as inhibitors of phosphatidylinositol 3-kinase (PI3K), which is associated with a number of malignancies such as ovarian cancer, cervical cancer, breast cancer, colon cancer, rectal cancer, and glioblastomas, among others. Accordingly, the compds. of formula I are useful for treating, preventing, and/or inhibiting these diseases. Compds. of formula I wherein W1, W2, W3 and W4 are CR6; or one or two of W1, W2, W3 and W4 are independently N; R6 is H, (halo)alkyl, NO2, (halo)alkoxy, halo, OH, CN, NH2, and (mono/di)alkylamino; R1, R4 and R5 are independently H, (halo)alkyl, (halo)alkenyl, halo, OH, (halo)alkoxy, alkenyloxy, NO2, amino, and (mono/di)alkylamino, etc.; R2 is H and alkyl; R3 is H and halo; B is (un)substituted Ph and (un)substituted heteroaryl; and their pharmaceutically acceptable salts and solvates thereof, are claimed. Example compound II was prepared by amidation of 6chloropyridine-3-sulfonyl chloride; the resulting 6-chloropyridine-3sulfonamide underwent arylation with 2,3-dichloroquinoxaline to give 6-chloro-N-(3-chloroquinoxazlin-2- yl)pyridine-3-sulfonamide, which underwent amination with 3,5-dimethoxyaniline to give compound II. All the invention compds. were evaluated for their PI3K inhibitory activity (data given). Examples of the pharmaceutical compns. are also given.

L35 ANSWER 3 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2006:1066309 ZCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 145:418960

TITLE: Preparation of quinolines as modulators of c-Met, KDR,

c-Kit, flt-3, and flt-4 kinases.

INVENTOR(S): Forsyth, Timothy Patrick; Mac, Morrison B.; Leahy,

James William; Nuss, John M.; Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 147pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE				ICAT				D	ATE	
WO	2006	1080	 59		A1	_	2006	1012							2	0060	406
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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		VN,	YU,	ZA,	ZM,	ZW											
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							LV,										
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										WO 2	006-	US12	709	Ī	W 2	0060	406
OTHER SO	DURCE	(S):			MAR:	PAT	145:	41896	6 O								

OTHER SOURCE(S): MARPAT 145:418960

Title compds. [I; R1 = H, halo, OR3, NO2, NH2, NR3R4; R3 = H, R4; R4 = AΒ (substituted) alkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; NR3R4 = 5-7 membered (substituted) heterocyclyl; Z = S, SO, SO2, O, NR5; R5 = H, (substituted) alkyl; Ar = (substituted) Ph, pyridyl, pyridazinyl, benzothienyl, benzoxazolyl, benzimidazolyl; D = O, S, SO, SO2, NR15; R15 = M1M2; M1 = null, CSNR13, CO, SO2, SO2NR13, etc.; M2 = H, alkyl, alkoxy, (substituted) cyclyl(alkyl)carbonyl, cyclyl(alkyl), etc.; R50 = R3, specified (substituted) (bicyclic) ring; with provisos], were prepared Thus, N-[3fluoro-4-[[6-(methoxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4yl]oxy]phenyl]-N'-[2-(4-fluorophenyl)ethyl]ethanediamide (preparation given) inhibited c-Met, KDR, c-Kit, flt-3, and flt-4 kinases with IC50 <50 nM. REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 4 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2006:655708 ZCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 145:124611

Preparation of [1H-pyrazolo[3,4-d]pyrimidin-4-TITLE:

yl]piperidine or -piperazine compounds as

serine-threonine kinase modulators (p70S6K, Akt-1 and

Akt-2) for the treatment of immunological, inflammatory and proliferative diseases

INVENTOR(S): Rice, Ken; Co, Erick Wang; Kim, Moon Hwan; Bannen,

Lynn Canne; Bussenius, Joerg; Le, Donna; Tsuhako, Amy Lew; Nuss, John; Wang, Yong; Xu, Wei; Klein,

Rhett Ronald

Exelixis, Inc., USA PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
WO	2006	 0718	 19		A1	_	2006	0706		WO 2	005-	 US46	 938		2	 0051	227
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
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		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KΖ,	MD,	RU,	TJ,	TM										
AU	2005	3220	85		A1		2006	0706		AU 2	005-	3220	85		2	0051	227
CA	2590	961			A1		2006	0706		CA 2	005-	2590	961		2	0051	227
EP	1848	719			A1		2007	1031		EP 2	005-	8554	90		2	0051	227
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
		BA,	HR,	MK,	YU												
JP	2008	5255.	26		Τ		2008	0717		JP 2	007-	5495	30		2	0051	227
US 20080188482					A1		2008	0807		US 2	007-	7222	91		2	0071	010
ORITY APPLN. INFO.:				.:						US 2	004-	6402	00P		P 2	0041	228
										WO 2	005-	US46	938		W 2	0051	227
HER SO	TIRCE.	(5) •			MAR.	PAT	145.	1246	1 1								

OTHER SOURCE(S): MARPAT 145:124611

GΙ

The title compds. I [R1 = H, halo, CN, aryl, etc.; R2 = H, NH2, SH, OH or AΒ alkyl; R3-R6 = H, oxo, alkyl, alkoxy, etc.; L = alkylene, alkenylene, C(0), etc.; Q1 = N, CR13 (wherein R13 = H or C(0)NR12(CH2)nNR10R11); Q2 = a bond, CR14, O or N (R14 = H, OH, alkyl, etc.); n = 1-4; W = alkyl, NR10R11, aryl, cycloalkyl, etc.; or V, Q2, L and W together form aryl ring, heteroaryl ring, cycloalkyl ring, etc.; R10, R11, R12 = H or alkyl which is optionally substituted with aryl or heteroaryl; with provisos], useful for inhibition of kinases, more specifically p70S6 kinases, and more preferably p70S6, Akt-1 and Akt-2 kinases, were prepared E.q., a multi-step synthesis of II, starting from N-Boc-4-(4- chlorobenzoyl)piperidine and 2-(diethylamino)ethylamine, was given. Compds. I were tested against p7086K, Akt-1 and Akt-2 (IC50 values were given for representative compds. I). The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration, chemoinvasion and metabolism Compds. I inhibit, regulate and/or modulate kinase receptor signal transduction pathways related to the changes in cellular activities as mentioned above, and the invention includes compns. which contain these compds., and methods of using them to treat kinasedependent diseases and conditions.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 5 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2006:119818 ZCAPLUS Full-text

DOCUMENT NUMBER: 144:212795

TITLE: Preparation of fused-ring pyrimidine-containing C-met

modulators and method of use against proliferative

disorders

INVENTOR(S): Bannen, Lynne Canne; Chan, Diva Sze-Ming; Dalrymple,

Lisa Esther; Jammalamadaka, Vasu; Khoury, Richard George; Leahy, James William; Mac, Morrison B.; Mann, Grace; Mann, Larry W.; Nuss, John M.; Parks, Jason

Jevious; Wang, Yong; Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006014325	A2	20060209	WO 2005-US23364	20050701

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WO 2006014325
                          АЗ
                                20070301
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
                                20060209
                                                                    20050701
     AU 2005270068
                                            AU 2005-270068
                          Α1
     CA 2572331
                                20060209
                                            CA 2005-2572331
                                                                    20050701
                          Α1
     EP 1773826
                          A2
                                20070418
                                            EP 2005-763620
                                                                    20050701
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
             HR, MK, YU
     JP 2008505181
                                20080221
                                            JP 2007-520386
                                                                    20050701
     US 20070179130
                          Α1
                                20070802
                                            US 2006-571140
                                                                    20061221
PRIORITY APPLN. INFO.:
                                            US 2004-584977P
                                                                    20040702
                                                                 Р
                                            WO 2005-US23364
                                                                   20050701
OTHER SOURCE(S):
                         MARPAT 144:212795
GΙ
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The present invention provides fused-ring pyrimidine-containing compds. (shown as I; variables defined below; e.g. N-(4-fluorophenyl)-N'-[3-fluoro-4-[(7H-pyrrolo[2,3-d]pyrimidin-4-yl)oxy]phenyl]propanediamide (shown as II)) for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. More specifically, the invention provides appropriately functionalized 5,6-fused bicyclics that inhibit, regulate and/or modulate kinase receptor, particularly c-Met, KDR, and flt-3, signal transduction pathways related to the changes in cellular activities as mentioned above, compns. which contain these compds., and methods of using them to treat kinase-dependent diseases and conditions. For I: Each of J1, J2, and J3 = :N-

, :C(R1)-, -N(R1)-, -O- and -S(0)0-2-; R2 = -H, halo, -OR20, -S(0)0-2R20, -NO2, -N(R20)R20, and (un) substituted C1-6alkyl; J4 = :N-, :C(H)-, and :C(CN)-;Ar is either a five- or six-membered arylene or a five- or six-membered heteroarylene containing 1-3 heteroatoms; each R3 = -H, halo, trihalomethyl, -CN, -NO2, -OR20, - N(R20)R20, -S(0)0-2R20, -SO2N(R20)R20, -CO2R20, -C(O)N(R20)R20, -N(R20)SO2R20, - N(R20)C(O)R20, -NCO2R20, -C(O)R20, (un) substituted C1-6alkyl, (un) substituted aryl, (un) substituted aryl C1-6alkyl, (un) substituted heterocyclyl, (un) substituted heterocyclyl C1-6alkyl, et al.; Z = -S(0)0-2-, -O-, and -NR4-; addnl. details are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for .apprx.30 examples of I and intermediates are included. For example, II was prepared (21 %) by amide formation from [3fluoro-4-[(7H-pyrrolo[2,3-d]pyrimidin-4-yl)oxy]phenyl]amine (preparation described) and 2-(4-fluorophenylcarbamoyl)acetic acid in DMF in the presence of HATU and Et3N. Semiquant. IC50 values for inhibition of c-Met, KDR and flt-3 kinases are tabulated for 12 examples of I.

L35 ANSWER 6 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2005:1314205 ZCAPLUS Full-text

DOCUMENT NUMBER: 144:51610

TITLE: Preparation and structure activity of

pyrazolo-pyrimidine derivatives as antitumor agents

and kinase modulators

INVENTOR(S): Anand, Neel K.; Blazey, Charles M.; Bowles, Owen

Joseph; Bussenius, Joerg; Canne Bannen, Lynne; Chan,

Diva Sze-Ming; Chen, Baili; Co, Erick Wang;

Costanzo, Simona; Defina, Steven Charles; Dubenko,

Larisa; Franzini, Maurizio; Huang, Ping;

Jammalamadaka, Vasu; Khoury, Richard George; Kim, Moon Hwan; Klein, Rhett Ronald; Le, Donna Tra;

Mac, Morrison B.; Nuss, John M.; Parks, Jason Jevious; Rice, Kenneth D.; Tsang, Tsze H.; Tsuhako,

Amy Lew; Wang, Yong; Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 211 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
	2005				A2		2005	_	,	WO 2	005-	JS13	860		2	0050	422
WO	2005	1179	09		А3		2006	0427									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AΖ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
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		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,
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		SM, SY, TJ, TM, ZM, ZW															
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		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
	MR, NE, SN, TD, I																
AU	2005	2493	80		A1		2005	1215		AU 2	005-	2493	80		2	0050	422
CA	2563	699			A1		2005	1215	1	CA 2	005-	2563	699		2	0050	422

EP 1750727 Α2 20070214 EP 2005-804792 20050422 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU 20071129 JP 2007534687 Τ JP 2007-509678 20050422 US 20080076774 Α1 20080327 US 2007-568173 20070726 PRIORITY APPLN. INFO.: US 2004-564908P 20040423 WO 2005-US13860 W 20050422 OTHER SOURCE(S): CASREACT 144:51610; MARPAT 144:51610 GΙ

Pyrazolo-pyrimidine derivs. I, wherein X1 is N, CR2. X2 is N, CR3; X3 is N, AΒ CR4, but when X2 is N then X3 is CR4; R is H, halogen, tri-halomethyl, substituted nitrogen, substituted sulfur, sulfonyl, sulfonamide, carboxylate, amide, substituted oxygen, acyl, alkyl, aryl, heterocycle, heterocycloalkyl, arylalkyl R1-R13 are independently H, halogen, tri-halomethyl, CN, NO2, substituted nitrogen, substituted sulfur, sulfonyl, sulfonamide, carboxylate, amide, substituted oxygen, acyl, alkyl, aryl, heterocycle, heterocycloalkyl, arylalkyl; Q is (C)nR11R12; n is 0-1 are prepared as kinase modulators. Combination chemotherapy and structure activity of title compds. are reported. The compds. modulate protein kinase enzymic activity to modulate cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly p70S6 and/or AKT kinases. Methods of using and preparing the compds., and pharmaceutical compns. thereof, to treat kinase-dependent diseases and conditions are also an aspect of the invention. Thus, 3-(azetidin-3-ylidene-methyl)-4-[4-(5-chloro-2-methylphenyl)piperazin-1-y1]-1H-pyrazolo[3,4-d]pyrimidine was prepared and tested in vitro as kinase modulator (IC50 > 1000 nM).

L35 ANSWER 7 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 2005:395446 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:406543

TITLE: TAO kinase inhibitors for pharmaceutical use and for

screening for kinase modulators

INVENTOR(S): Xu, Wei; Zheng, Wentao; Baly, Deborah Lynn; Galan,

Adam Antoni; Ibrahim, Mohamed Abdulkader; Jaeger, Christopher; Kearney, Patrick; Leahy, James William; Lewis, Gary Lee; McMillan, Kirk; Noguchi, Robin Tammie; Nuss. John M.; Parks, Jason Jevious;

Schnepp, Kevin Luke; Shi, Xian; Williams, Matthew Alan

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	TENT	NO.			KIN	D	DATE						NO.		D.	ATE		
	2005 2005							0506 0804							2	0041	022	
***								AZ,		RR	BG	BB	ВW	RY	B7.	$C\Delta$	СН	
	VV •	•	•	•	•	•	•	DK,	•	•	•	•	•	•	•	•	•	
		•	•				•	IL,										
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	DM.	•	•				•	UA,	•		•				•	•		
	KW:	•	•				•	MZ,										
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		•	•	•	•	•	•	HU,	•	•	•	•	•	•	•	•	•	
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			TD,							_								
	2004																	
	2542				A1			0506										
EP	1678	121			A2		2006	0712		EP 2	004-	7964	42		2	0041	022	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
			•				•	MK,										HR
JP	2007	5274	12		${ m T}$		2007	0927	1	JP 2	006-	5369.	28		2	0041	022	
US	2007	0208	166		A1		2007	0906		US 2	006-	5769.	32		2	0061	019	
IORIT:	Y APP	LN.	INFO	.:						US 2	003-	5143	77P		P 2	0031	024	
									,	WO 2	004-	US35	469	1	W 2	0041	022	
TIDD O		101			MAD	D 20 CT	1 40.	40CE	4.3									

OTHER SOURCE(S): MARPAT 142:406543

The invention provides compds. and methods for inhibition of kinases, such as those of the TAO family, more specifically KIAA1361, TAO, and JIK kinases. The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration, and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinase receptor signal transduction pathways related to the changes in cellular activities as mentioned above, and the invention includes compns. which contain these compds., and methods of using them to treat kinase-dependent diseases and conditions. Thus, N-(2,3-dihydro-1,4-benzodioxin-2-ylmethyl)-11-oxo-10,11- dihydro-5H-dibenzo[b,d][1,4]diazepine-3-carboxamide was synthesized. This compound exhibited an IC50 with JIK kinase of <50 nM and an IC50 with TAO kinase of between 50 and 500 nM.

L35 ANSWER 8 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 8

ACCESSION NUMBER: 2005:395042 ZCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 142:447414

TITLE: P70S6 kinase modulators and method of use INVENTOR(S): Cheng, Wei; Co, Erick Wang; Kim, Moon Hwan; Klein, Rhett Ronald; Le Donna, T.; Lew, Amy;

Yuga Yaha M . Yu Wai

Nuss, John M.; Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT		KIN:							ION I			D.	ATE				
	2005 2005				A2		2005	0506							2	0041	022	
WO										ממ	DC	ממ	D TaT	DV	D7	$C \Delta$	CII	
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		•	•	•	•	•	•	PT,	•	•	•	•	•	•	•	•	•	
	DIJ.							UA,										
	KW:							MZ,										
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		•	•	•	•	•	•	HU,	•	•	•	•	•	•	•	•	•	
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2.11	0004		TD,		3.1		0005	0506		<b>7.7.</b> 0	0 0 4	0007	_ 1		0	0041	000	
	2004																	
	2541																	
EP	1678																	
	R:	•	•	•	•	•	•	FR,		•		•	•	•	•	•	•	
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	2007																	
	2007				A1		2007	0906										
PRIORIT	Y APP	LN.	INFO	.:								5144.						
												5514.						
												US35			W 2	0041	022	
OTHER S GI	OURCE		CAS:	REAC	T 14	2:44	7414	; MA	RPAT	142	:447	414						

AB Peptide derivs. I [E = C(R2)-substituted pyridine, pyridazine, pyrimidine, or 1,3,5-triazine; B = (R1)n; R1, R2 = H, halo, trihalomethyl, CN, NO2, aminoalkyl, carboxyalkyl, (un)substituted alky, alkenyl, alkynyl, aryl, heterocyclyl, heterocyclylalkyl, arylalkyl, etc.; X, Y = CO, O, (un)substituted amine, (un)substituted imine, SO; X and Y can combine to form either C(R3):C(R3), or C.tplbond.C; when X = O, (un)substituted amine, or (un)substituted imine, Y cannot be CH(R3); R3 = (un)substituted Ph, naphthyl, cyclohexyl, dihydronaphthyl, five- to six-membered heteroaryl; Z = O, S, double bond to an atom of B; A = single bond, NH, (un)substituted aminoalkyl,

aminoaryl, aminoarylalkyl, aminoheterocyclyl, aminoheterocyclylalkyl; J = (un)substituted five- to ten-membered aryl or heteroaryl, etc.; n = 0-5] or pharmaceutically acceptable salts, hydrates, or prodrugs were prepared as p70S6 kinase signal transduction inhibitors and cellular activities modulators for treating kinase-dependent diseases and conditions. Thus, compound II was prepared by coupling of 2-amino-4,6-di-chloro-5-formylpyrimidine with 2-amino-N-(3- trifluoromethylphenyl)acetamide in 43%yield and showed IC50 < 50 nM in p70S6 kinase activity assey.

L35 ANSWER 9 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 9

ACCESSION NUMBER: 2005:300201 ZCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 142:373856

TITLE: Preparation of quinolines and quinazolines as

inhibitors of c-Met and other tyrosine kinases and

therapeutic uses against proliferative diseases

INVENTOR(S): Bannen, Lynne Canne; Chan, Diva Sze-ming; Chen, Jeff;

Dalrymple, Lisa Esther; Forsyth, Timothy Patrick; Huynh, Tai Phat; Jammalamadaka, Vasu; Khoury, Richard George; Leahy, James William; Mac, Morrison B.; Mann, Grace; Mann, Larry W.; Nuss, John M.; Parks, Jason Jevious; Takeuchi, Craig Stacy; Wang, Yong; Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 428 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA:	TENT	NO.			KIN	D	DATE		i	APPL	ICAT	ION 1	NO.		D.	ATE		
	2005 2005								Ţ	WO 2	004-	US31	523		2	0040	924	
	W: RW:	CN, GE, LK, NO, TJ, BW, AZ, EE,	CO, GH, LR, NZ, TM, GH, BY, ES,	CR, GM, LS, OM, TN, GM, KG, FI,	CU, HR, LT, PG, TR, KE, KZ, FR,	CZ, HU, LU, PH, TT, LS, MD, GB,	AU, DE, ID, LV, PL, TZ, MW, RU, GR, CF,	DK, IL, MA, PT, UA, MZ, TJ, HU,	DM, IN, MD, RO, UG, NA, TM, IE,	DZ, IS, MG, RU, US, SD, AT, IT,	EC, JP, MK, SC, UZ, SL, BE, LU,	EE, KE, MN, SD, VC, SZ, BG, MC,	EG, KG, MW, SE, VN, TZ, CH, NL,	ES, KP, MX, SG, YU, UG, CY,	FI, KR, MZ, SK, ZA, ZM, CZ, PT,	GB, KZ, NA, SL, ZM, ZW, DE, RO,	GD, LC, NI, SY, ZW AM, DK, SE,	
	2004	2758	42															
	2537 1673						2005 2006									0040 0040		
JP US US US						DK, FI,	ES, RO, 2007	FR, MK, 0322 0308 0927	GB, CY,	GR, AL, JP 2 US 2 US 2 US 2 US 2 US 2 US 2 US 2	IT, TR, 006- 007- 007- 003- 004- 004- 006-	LI, BG, 5282 5867 7534 7535 5061 5353 5773 US31 5733	LU, CZ, 65	NL, EE,	SE, HU, 2 2 2 2 2 2 P 2 P 2 P 2	MC, PL, 0040 0061 0070 0070 0030 0040 0040 0060	PT, SK, 924 026 524 524 926 109 604 924 918	HR

OTHER SOURCE(S): CASREACT 142:373856; MARPAT 142:373856

GΙ

$$\mathbb{R}^{50}$$
 $\mathbb{R}^{1}$ 
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The present invention provides compds. (shown as I; variables defined below; AΒ e.g. N-[4-[7-[2-(diethylamino)ethyl]oxy]-6-(methyloxy)guinolin-4-yl]oxy]-3fluorophenyl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide (shown as II)) for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. More specifically, the invention provides quinazolines and quinolines which inhibit, regulate and/or modulate kinase receptors, particularly c-Met, KDR, c-Kit, flt-3 and flt-4, signal transduction pathways related to the changes in cellular activities as mentioned above, compns. which contain these compds., and methods of using them to treat kinase-dependent diseases and conditions. The present invention also provides methods for making compds. as mentioned above, and compns. which contain these compds. For I: R1 = H, halogen, OR3, NO2, NH2, NR3R4, and (un) substituted lower alkyl; A1 = :N-, :C(H)-, and :C(CN)-; Z = -S(O)0-2-, -O-, and -NR5-; Ar is aryl or heteroaryl; D = -0-, -S(0)0-2-, and -NR15-; R50 = R3 or bicyclic radical; addnl. details are given in the claims. Methods of preparation are claimed and .apprx.80 example prepns. of I and intermediates are included. For example, II was prepared (34 %) from 2-(diethylamino)ethanol and cyclopropane-1,1-dicarboxylic acid N-[3-fluoro-4-[(7-hydroxy-6- methoxyquinolin-4-yl)oxy]phenyl]amide N-(4-fluorophenyl)amide, which was prepared (89 %) by deprotection of cyclopropane-1,1-dicarboxylic acid N-[4-[(7-benzyloxy-6-methoxyquinolin-4-yl)oxy]-3-fluorophenyl]amide N-(4-yl)fluorophenyl)amide, which was prepared (48 %) from trifluoromethanesulfonic acid 7-benzyloxy-6-methoxyquinolin-4-yl ester and cyclopropane-1,1dicarboxylic acid N-(3-fluoro-4-hydroxyphenyl)amide N-(4-fluorophenyl)amide, which was prepared (85 %) by deprotection of cyclopropane-1,1-dicarboxylic acid N-(4-benzyloxy-3-fluorophenyl) amide N-(4-fluorophenyl) amide, which was prepared (98 %) from (4-benzyloxy-3- fluorophenyl)amine and 1-(4fluorophenylcarbamoyl)cyclopropanecarboxylic acid; addnl. details are given in the examples.

L35 ANSWER 10 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 10

ACCESSION NUMBER: 2005:216619 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:297864

TITLE: Preparation of aniline derivatives and related

compounds as c-kit modulators

INVENTOR(S): Cheng, Wei; Co, Erick Wang; Kim, Moon Hwan;

Klein, Rhett Ronald; Le Donna, T.; Lew, Amy; Nuss, John M.; Xu, Wei; Bajjalieh, William

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

P.F					KIND DATE													
		A2 20050310 A3 20051006						004-										
	W: AE, AG, AL,				AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NΙ,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
		SN,	TD,	ΤG														
JA	J 2004	2686	21		A1		2005	0310	AU 2004-268621						20040827			
CF	2536	954			A1		2005	0310	CA 2004-2536954						20040827			
EF	? 1663	204			A2		2006	0607		EP 2	004-	7824	73		2	0040	827	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
								MK,										HR
JI	2007	5041	60		Τ		2007	0301		JP 2	006-	5249	05					
US	3 2008	0096	892		A1		2008	0424		US 2	007-	5698	73		2	0070	904	
PRIORIT	ry App						US 2	003-	4992.	24P		P 20030829						
										WO 2	004-	US28	001	,	W 20040827			
OTHER S	SOURCE	CAS:	REAC	T 14	2:29	7864	; MA	RPAT	142	:297	864							
GI																		

AΒ Compds. I [wherein ring A is a five- to fourteen-membered heteroaryl; R1, R2 and R3 are H, halo, trihalomethyl, cyano, nitro, etc.; L1 is a single bond, (un) substituted alkylene, O, CH2O, etc.; ring B is five- to ten-membered aryl or heterocyclyl; ring C is five- to ten-membered (hetero)aryl; L2 is alkylene, alkylidene, alkylidyne, etc.; with some limitations and exclusions, and pharmaceutically acceptable salts, hydrates or prodrugs thereof], as exemplified by carbonyl compds. of anilines, were prepared as c-Kit kinase modulators. For example, 3-aminophenoxyacetic acid, which was obtained from the corresponding nitro compound in 76% yield via catalytic hydrogenation, was treated with HC(OEt)3 and NaN3 in AcOH followed by NaNO2/HCl to give a tetrazole in 61% yield. This acid was coupled with 5-amino-2chlorobenzotrifluoride in the presence of HATU to afford acetamide II in 46%yield, which showed inhibition against c-Kit kinase with a IC50 of < 50 nM. Therefore, I and pharmaceutical compns. thereof are useful for modulating c-Kit kinase activity and for treating diseases or disorders associated with uncontrolled, abnormal, and/or unwanted cellular activities.

L35 ANSWER 11 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 11

ACCESSION NUMBER: 2004:802766 ZCAPLUS Full-text

DOCUMENT NUMBER: 141:314337

TITLE: Preparation of vicinally-disubstituted azaheterocyclyl

aromatic compounds as inhibitors of Tie-2 kinase

INVENTOR(S): Parks, Jason Jevious; Bannen, Lynne Canne; Brown, S.

David; Cheng, Wei; Cheung, Atwood Kim; Dalrymple, Lisa Esther; Epshteyn, Sergey; Ibrahim, Mohamed

Abdulkader; Jammalamadaka, Vasu; Leahy, James William; Lewis, Gary Lee; Mac, Morrison B.; Mann, Larry W.; Nuss, John M.; Noguchi, Robin Tammie; Ridgway, Brian Hugh; Sangalang, Joan C.; Schnepp, Kevin Luke; Shi, Xian; Williams, Matthew A.; Xu. Wei; Khoury, Richard

Exelixis Inc., USA

PATENT ASSIGNEE(S): Exelixis Inc., USA SOURCE: PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA:	FENT	NO.			KIND		DATE			APPL	ICAT	DATE						
WO 2004083235					A2		20040930		,	WO 2	004-		20040319					
WO	2004083235				A3		20050303											
	W:	ΑE,	ΑG,	ΑL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	ВG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
							HU,											
					•		CG,	•		•				•				
		TD,		•	,	·	·	,	·	,	·	~,	·	,	·	•	·	
ΑIJ	2004	,			A1		2004	0930		AU 2	004-		20040319					
_	2517	_			A1		2004			CA 2		_				0040.		
EP	1608	-			A2		2005			-		-	-			0040.		
			BF	СН			ES,								_	00=0		
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TD	2006														HU, PL, SK			
JP 2006524682				T		2006	T T U Z	1	JP Z	006-	50/4	14		20040319				

US 20070275952 A1 20071129 US 2007-549300 20070131 PRIORITY APPLN. INFO.: US 2003-456565P P 20030319 WO 2004-US8579 W 20040319

OTHER SOURCE(S): MARPAT 141:314337

GΙ

$$\begin{array}{c}
A \\
N-Ar-Y-L-Z \\
(R^2)_{g}
\end{array}$$

$$F_{3}C \longrightarrow \bigwedge_{H}^{N} \longrightarrow \bigwedge_{N}^{N} \longrightarrow \bigwedge_{S'}^{N}$$

AΒ Compds. I [Ar = a five- or six-membered heteroarom. ring containing 1-3heteroatoms in which the two substituents are ortho to each other (vicinal); A = bond, CH2; L = (CH2)m, (CH2)mNR3, (CH2)mO, (CH2)mS, (CH2)mS(:O), (CH2)mSO2; M = R3R4N, R30; R1 = H, R3R4N, R3R4NCH2, MC(:0), MCH2C(:0); R2 = H, halogen, oxo, NC, H2N, O2N, (un) substituted alkoxy, amino, alkylthio, etc.; multiple R2 may form a three- to seven-membered ring; R3 = H, (un)substituted alkyl, aryl, aralkyl, heterocyclyl, heterocycloalkyl; R4 = R3, R3SO2, R32NSO2, R3O2C, R32NC(:0), R3C(:0); R3R4N may also form a five- to seven-membered heterocyclic ring which may contain a second heteroatom selected from N, O, P, or S; Y = bond, CH2, O, S, S(:O), SO2, NR3; W = R22C, R4N, S, S(:O), SO2, O; Z = R3 or an (un)substituted five- to seven-membered heterocycle; m, q = 1-3] such as II are prepared as inhibitors of protein kinases such as the human protein kinase Tie-2 for the inhibition of undesired cellular activity such as proliferation. II is prepared in four steps; nucleophilic substitution of 3,4-dichloro-1,2,5thiadiazole with Boc-piperazine in DMF, nucleophilic substitution of the remaining chloro moiety with 4-pyridinemethanol and potassium tert-butoxide in tert-butanol, removal of the Boc group with HCl in dioxane, and reaction of the amine dihydrochloride salt with 3,5-bis(trifluoromethyl)phenyl isocyanate and triethylamine in dichloromethane yields II. II inhibits human Tie-2 kinase with an IC50 value of < 50 nM. Data on the inhibition of Tie-2 kinase by compds. of the invention is provided.

L35 ANSWER 12 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 12

ACCESSION NUMBER: 2004:493723 ZCAPLUS Full-text

DOCUMENT NUMBER: 141:54195

TITLE: Preparation of oxindole derivatives as kinase

modulators

INVENTOR(S): Bannen, Lynne Canne; Brown, S. David; Cheng, Wei;

Co, Erick Wang; Nuss, John M.; Kim, Moon Hwan; Klein, Rhett Ronald; Le, Donna T.; Lew, Amy; Mac, Morrison B.; Parks, Jason Jevious; Wen, Zhaoyang; Xu,

Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIND DATE					APPL	ICAT	ION 1	DATE							
		A2 20040617 A3 20041104				WO 2	003-	US36		20031114										
	W: AE, AG, AL,								BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,				
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,			
		•					•	IN,		•										
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,			
		OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,			
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,			
		BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,			
		ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,			
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG		
CA	2506	546			A1		2004	0617		CA 2	003-	2506.	546		20031114					
AU	2003	3026	65		A1		2004	0623		AU 2003-302665						20031114				
EP	1581	309			A2		2005	1005		EP 2	003-	8124	37		2	0031	114			
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,			
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK				
JP	2006	5107	27		Τ		2006	0330	1	JP 2	004-	5707.	58							
US	US 20060122171						2006	0608								0050				
PRIORIT	RIORITY APPLN. INFO.:														P 20021115					
										US 2003-470674P					P 20030514					
	OLID OL									WO 2	003-	US36.	567	,	W 20031114					

OTHER SOURCE(S): MARPAT 141:54195

GI

AΒ The title compds. I [W = N or CR1; R1 = H, halo, trihaloalkyl, CN, NH2, NO2, OR6, N=CNR6R7, N(R6)C(=NR8)NR6R7, SR6, S(0)1-2R6, SO2NR6R7, CO2R6, etc.; L =  $\frac{1}{2}$ O, S(0)0-2, or NR3; Q = C or N, when Q = N, then R4 does not exist; R2, R3 = H or R7; R4, R5 = H, OR6, NR6R7, S(0)0-2R6, SO2NR6R7, CO2R6, C(0)NR6R7, N(R6)SO2R6, NC(O)2R6, C(O)R7, CN, NO2, NH2, halo, trihaloalkyl, R7; or R4, R5 when taken together, form a five or six-membered aromatic ring containing 0-2N; R6, R7 = H, (substituted)(aryl)alkyl, (substituted)heterocyclylalkyl, (substituted)aryl, (substituted)heterocyclyl, with proviso or R6, R7 = when taken together with a common N to which they are attached, form a five to seven-membered heterocyclic ring containing at least one addnl. heteroatom selected from N, O, S, or P; R8 = H, NO2, CN, OR6, or (substituted)alkyl; X = (substituted) (hetero) aromatic ring; K = O, S, (substituted) amino] were prepared as kinase modulators to treat kinase-dependent diseases and conditions. For example compound II was prepared in a multi-step synthesis starting from 4-methylimidazole. The latter inhibited KDR and EGFR with IC50 < 50 nM.

L35 ANSWER 13 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 13

ACCESSION NUMBER: 2003:1006921 ZCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 140:42210

TITLE: Preparation of 1-sulfonyl-2-piperazinehydroxamic acids

as selective inhibitors of human ADAM-10 for treating cancer, arthritis and diseases related to angiogenesis

INVENTOR(S): Bannen, Lynne Canne; Co, Erick W.; Jammalamadaka,

Vasu; Nuss, John M.; Kim, Moon Hwan; Le Tra,

Donna; Lew, Amy; Mac, Morrison B.; Mamo, Shumeye; Wen,

Zhaoyang; Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA.	TENT 1	NO.			KIND DATE					APPL	ICAT		DATE						
WO	2003			2003	1224	,	WO 2	003-1											
WO	2003106381			А3		2004	0415												
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,		
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,		
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
		FΙ,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,		
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
CA	2485	346			A1		2003	1224		CA 2	003-:	2485:	20030611						
AU	2003	2375.	32		A1		2003	1231		AU 2	003-	2375		20030611					
EP	1511	488			A2		2005	0309		EP 2	003-	7369	79		2	0030	611		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK			
JP	2005	5337	89		T		2005	1110		JP 2	004-	5132	17		2	0030	611		
US	US 20060199820						2006	0907		US 2	005-	5181	10						
PRIORIT	Y APP	LN.	INFO	.:						US 2	002-	3883	P 20020612						

OTHER SOURCE(S): MARPAT 140:42210

GΙ

The present invention provides 1-sulfonyl-2-piperazinehydroxamic acids (shown AΒ as I; variables defined below; e.g. II) useful for inhibiting the ADAM-10 protein, with selectivity vs. MMP-1. Inhibition activities of 66 examples of I towards ≤8 metalloproteinases are tabulated. Such compds. are useful in the in vitro study of the role of ADAM-10 (and its inhibition) in biol. processes. The present invention also comprises pharmaceutical compns. comprising  $\geq 1$ ADAM-10 inhibitors according to the invention in combination with a pharmaceutically acceptable carrier. Such compns. are useful for the treatment of cancer, arthritis, and diseases related to angiogenesis. Correspondingly, the invention also comprises methods of treating forms of cancer, arthritis, and diseases related to angiogenesis in which ADAM-10 plays a critical role. A method of preparation of sulfonyl halide intermediates is claimed. For example, [4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl chloride was prepared in 3 steps (105, 98 and 83 % yields) starting from 3,4,5-trifluoronitrobenzene, 4-fluorophenol, and Cs2CO3 in DMF and involving intermediates 4-(4-fluorophenoxy)-3,5-difluoronitrobenzene and 4-(4fluorophenoxy)-3,5- difluoroaniline. The prepared [4-(4-fluorophenoxy)-3,5difluorophenyl]sulfonyl chloride was used in a 5-step procedure (65, 78, -, 69 and 62 % yields) to give II involving intermediates (R)-1-[[4-(4fluorophenoxy)-3.5-difluorophenyl]sulfonyl]-4-boc-piperazine- 2-carboxylic acid, Me (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]-4-bocpiperazine-2-carboxylate, Me (R)-1-[[4-(4-fluorophenoxy)-3,5difluorophenyl]sulfonyl]piperazine-2- carboxylate trifluoroacetate and Me (R)-1-[[4-(4-fluorophenoxy)-3,5- difluorophenyl]sulfonyl]-4-(ethoxycarbonyl)piperazine-2-carboxylate. Although the methods of preparation of I are not claimed, several example prepns. and characterization data for 66 examples of I are included. For I: L1 is -C(0)-, -S(0)2-, or -(CH2)n-; R1 is -H, -OR11, -(CH2)nR11, -C(O)R11, or -NR12R13; R2 is -R21-L2-R22 (R21 is saturated or mono- or poly- unsatd. C5-C14-mono- or fused poly- cyclic hydrocarbyl, optionally containing one or two annular heteroatoms per ring and (un) substituted with 1-3 R50 substituents; L2 is -O-, -C(O)-, -CH2-, -NH-, -SO2- or a direct bond; R22 is saturated or mono- or poly- unsatd. C5-C14-monoor fused polycyclic hydrocarbyl, optionally containing one or two annular heteroatoms per ring and (un)substituted with 1-3 R50 substituents); n = 0-3; provided that an O or S is not singly bonded to another O or S in a chain of atoms; addnl. details are given in the claims.

10/576653 L35 ANSWER 14 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 14 ACCESSION NUMBER: 2003:892800 ZCAPLUS Full-text DOCUMENT NUMBER: 139:395950 TITLE: Preparation of substituted pyrazines as protein kinase modulators INVENTOR(S): Buhr, Chris A.; Baik, Tae-Gon; Ma, Sunghoon; Tesfai, Zerom; Wang, Longcheng; Co, Erick Wang; Epshteyn, Sergey; Kennedy, Abigail R.; Chen, Baili; Dubenko, Larisa; Anand, Neel Kumar; Tsang, Tsze H.; Nuss, John M.; Peto, Csaba J.; Rice, Kenneth D.; Ibrahim, Mohamed Abdulkader; Schnepp, Kevin Luke; Shi, Xian; Leahy, James William; Chen, Jeff; Dalrymple, Lisa Esther; Forsyth, Thimothy Patrick; Huynh, Tai Phat; Mann, Grace; Mann, Lary Wayne; Takeuchi, Craig Stacy PATENT ASSIGNEE(S): Exelixis, Inc., USA PCT Int. Appl., 468 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: KIND PATENT NO. DATE APPLICATION NO. DATE \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_\_ \_\_\_\_\_ WO 2003093297 A2 WO 2003-US13869 20031113 20030502 WO 2003093297 A3 20040701 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20031113 CA 2003-2484209 CA 2484209 A1 20030502 AU 2003234464 AU 2003-234464 A1 20031117 20030502 EP 2003-728690 EP 1501514 20050202 A2 20030502 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK T 20051013 JP 2004-501436 20030502 JP 2005530760

US 20060211709 A1 20060921 US 2005-513081 20050727 US 2005-513081 20050727 US 2002-377933P P 20020503 WO 2003-US13869 W 20030502 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 139:395950

GΙ

AΒ This invention relates to compds. I [R1 = H, halo, CN, etc.; R2, R3 = H, alkyl, aryl, etc.; R4 = H, alkyl, aryl, etc.; Z = N, CH; A = CO, CS, C(:NR6), R7 (when A = R7, E does not exist); R6 = H, NO2, CN, etc.; R7 =(un)substituted 5-7 membered heterocyclyl; E = NR8R9, NNR2R3, OR4, etc.; R8 = H, alkyl; R9 = H, heteroarylalkyl, etc.; NR8R9 = (un)substituted 5-7 membered heteroalicyclyl; W = 6-10 membered arylene, 5-10 membered heteroarylene; X = abond, (un)substituted alkylene, O(CH2)2-30, etc.; Y = H, alkyl, aryl, etc.; with provisos or modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion, and to pharmaceutical compns. containing such compds. Even more specifically, the invention relates to compds. I that inhibit, regulate and/or modulate kinases, particularly Checkpoint Kinases, even more particularly Checkpoint Kinase 1, or Chk1. Preparation of representative compds. I is described. Thus, amidation of 3-amino-6phenylpyrazinecarboxylic acid (preparation given) with benzylamine afforded 67% 3-amino-6-phenyl-N- (phenylmethyl)pyrazine-2-carboxamide which showed IC50 of 10,000 nM or greater against Chk1. Table presenting activity data with respect to Chk1 for over 1000 compds. I is given. Methods of therapeutically or prophylactically using the compds. I and compns. to treat kinase-dependent diseases and conditions are also an aspect of the invention, and include methods of treating cancer, as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, by administering effective amts. of such compds.

L35 ANSWER 15 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 15

ACCESSION NUMBER: 2003:491172 ZCAPLUS Full-text

DOCUMENT NUMBER: 139:69520

TITLE: Preparation of N-sulfonyl amino acid hydroxamide

derivatives as human ADAM-10 inhibitors

INVENTOR(S): Brown, S. David; Canne, Lynne; Co, Erick W.;

Jammalamadaka, Vasu; Khoury, Richard G.; Kim, Moon Kwan; Le, Donna T.; Lew, Amy; Mac, Morrison B.; Mamo, Shumeye; Nuss, John M.; Prisbylla, Michael P.;

Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.					KIN	D	DATE	ATE APPLICATION NO.							D.	ATE	
WO 2003051825					A1		20030626			 WO 2	002-		20021213				
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,
		UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FΙ,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
CA	2473	938			A1		2003	0626		CA 2	002-	2473	938		2	0021	213
AU	2002	3467	24		A1		2003	0630		AU 2	002-	3467.	24		2	0021	213
EP	EP 1461313				A1		2004	0929		EP 2	002-	7847	94		2	0021	213
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK JP 2003-552713 JP 2005513065 Τ 20050512 20021213 US 20050227973 Α1 20051013 US 2005-498338 20050511 PRIORITY APPLN. INFO.: US 2001-340179P P 20011214 W 20021213 WO 2002-US39816

OTHER SOURCE(S): MARPAT 139:69520

The invention provides amino acid derivs. R5SO2NR4CHR3CONR2OR1 [R1 is H, alkyl, alkanoyl, (un) substituted arylalkyl or arylalkanoyl; R2 is any group given for R1 plus alkoxy; R3 is -Z-O-J, where Z is (un)substituted alk(en)vl, alkoxyalkyl, or alkylthioalkyl; O is a bond, CO, (un)substituted aryl, heteroaryl, or heterocycloalkyl; J is an amino group, including ureido groups; R4 is H, (un)substituted alkyl or arylalkyl; R5 is -M-G-A, where M and A are (un) substituted aryl or heteroaryl; G is a bond, CH2, -alkyl-O-, -O-alkyl-, O, S, SO, or SO2 (with provisos)] useful for inhibiting the ADAM-10 protein, also known as human Kuzbanian. Such compds. are useful in the in vitro study of the role of ADAM-10 (and its inhibition) in biol. processes. Pharmaceutical compns. comprising one or more ADAM-10 inhibitors are useful for the treatment of cancer, arthritis, and diseases related to angiogenesis. The invention also provides methods for making bis-aryl ether sulfonyl chloride intermediates. Thus, claimed compound N2-[[6-(3-fluorophenyl)pyridin-3yl]sulfonyl]-N1-hydroxy-D-argininamide showed IC50 < 50 nM for inhibition of ADAM-10.

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 16 OF 31 ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

AUTHOR(S):

ZCAPLUS COPYRIGHT 2008 ACS on STN 2004:101707 ZCAPLUS Full-text

141:29645

Parity-violating electroweak asymmetry in .vector.ep scattering  ${\bf r}$ 

Aniol, K. A.; Armstrong, D. S.; Averett, T.; Baylac, M.; Burtin, E.; Calarco, J.; Cates, G. D.; Cavata, C.; Chai, Z.; Chang, C. C.; Chen, J.-P.; Chudakov, E.; Cisbani, E.; Coman, M.; Dale, D.; Deur, A.; Djawotho, P.; Epstein, M. B.; Escoffer, S.; Ewell, L.; Falletto, N.; Finn, J. M.; Fissum, K.; Fleck, A.; Frois, B.; Frullani, S.; Gao, J.; Garibaldi, F.; Gasparian, A.; Gerstner, G. M.; Gilman, R.; Glamazdin, A.; Gomez, J.; Gorbenko, V.; Hansen, O.; Hersman, F.; Higinbotham, D. W.; Holmes, R.; Holtrop, M.; Humensky, T. B.; Incerti, S.; Iodice, M.; de Jager, C. W.; Jardillier, J.; Jiang, X.; Jones, M. K.; Jorda, J.; Jutier, C.; Kahl, W.; Kelly, J. J.; Kim, D. H.; Kim, M.-J.; Kim, M. \$.; Kominis, I.; Kooijman, E.; Kramer, K.; Kumar, K. S.; Kuss, M.; LeRose, J.; De Leo, R.; Leuschner, M.; Lhuillier, D.; Liang, M.; Liyanage, N.; Lourie, R.; Madey, R.; Malov, S.; Margaziotis, D. J.; Marie, F.; Markowitz, P.; Martino, J.; Mastromarino, P.; McCormick, K.; McIntyre, J.; Meziani, Z.-E.; Michaels, R.; Milbrath, B.; Miller, G. W.; Mitchell, J.; Morand, L.; Neyret, D.; Pedrisat, C.; Petratos, G. G.; Pomatsalyuk, R.; Price, J. S.; Prout, D.; Punjabi, V.; Pussieux, T.; Quemener, G.; Ransome, R. D.; Relyea, D.; Roblin, Y.; Roche, J.; Rutledge, G. A.; Rutt, P. M.; Rvachev, M.; Sabatie, F.; Saha, A.; Souder, P. A.; Spradlin, M.; Strauch, S.; Suleiman, R.; Templon, J.; Teresawa, T.; Thompson, J.; Tieulent, R.; Todor, L.; Tonguc, B. T.; Ulmer, P. E.; Urciuoli, G. M.; Vlahovic, B.; Wijesooriya, K.; Wilson, R.; Wojtsekhowski, B.; Woo, R.; Mu, W.; Younus, I.;

Zhang, C.

CORPORATE SOURCE: The HAPPEX Collaboration, California State University,

Los Angele, CA, 90032, USA

SOURCE: Los Alamos National Laboratory, Preprint Archive,

Nuclear Experiment (2004) 1-85, arXiv:nucl-ex/0402004,

5 Feb 2004 CODEN: LNNEFO

URL: http://xxx.lanl.gov/pdf/nucl-ex/0402004

PUBLISHER: Los Alamos National Laboratory

DOCUMENT TYPE: Preprint LANGUAGE: English

We measured the parity-violating electroweak asymmetry in the elastic scattering of polarized electrons from protons. Significant contributions to this asymmetry could arise from the contributions of strange form factors in the nucleon. The measured asymmetry is  $A = -15.05 \pm 0.98 (\mathrm{stat}) \pm 0.56 (\mathrm{syst})$  ppm at the kinematic point  $<\theta$ lab> =  $12.3^{\circ}$  and <Q2> = 0.477 (GeV/c)2. Based on these data as well as data on electromagnetic form factors, we extracted the linear combination of strange form factors GEs +  $0.392 \mathrm{GMs} = 0.014 \pm 0.020 \pm 0.010$ , where the first error arises from this experiment and the second arises from the electromagnetic form factor data. This paper provides a full description of the special exptl. techniques employed for precisely measuring the small asymmetry, including the first use of a strained GaAs crystal and a laser-Compton polarimeter in a fixed target parity-violation experiment

REFERENCE COUNT:

THERE ARE 146 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L35 ANSWER 17 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:536906 ZCAPLUS <u>Full-text</u>

146

DOCUMENT NUMBER: 141:231735

TITLE: Parity-violating electroweak asymmetry in .vector.ep

scattering

AUTHOR(S):

Aniol, K. A.; Armstrong, D. S.; Averett, T.; Baylac, M.; Burtin, E.; Calarco, J.; Cates, G. D.; Cavata, C.; Chai, Z.; Chang, C. C.; Chen, J.-P.; Chudakov, E.; Cisbani, E.; Coman, M.; Dale, D.; Deur, A.; Djawotho, P.; Epstein, M. B.; Escoffier, S.; Ewell, L.; Falletto, N.; Finn, J. M.; Fissum, K.; Fleck, A.; Frois, B.; Frullani, S.; Gao, J.; Garibaldi, F.; Gasparian, A.; Gerstner, G. M.; Gilman, R.; Glamazdin, A.; Gomez, J.; Gorbenko, V.; Hansen, O.; Hersman, F.; Higinbotham, D. W.; Holmes, R.; Holtrop, M.; Humensky, T. B.; Incerti, S.; Iodice, M.; de Jager, C. W.; Jardillier, J.; Jiang, X.; Jones, M. K.; Jorda, J.; Jutier, C.; Kahl, W.; Kelly, J. J.; Kim, D. H.; Kim, M.-J.; Kim, M. S.; Kominis, I.; Kooijman, E.; Kramer, K.; Kumar, K. S.; Kuss, M.; LeRose, J.; De Leo, R.; Leuschner, M.; Lhuillier, D.; Liang, M.; Liyanage, N.; Lourie, R.; Madey, R.; Malov, S.; Margaziotis, D. J.; Marie, F.; Markowitz, P.; Martino, J.; Mastromarino, P.; McCormick, K.; McIntyre, J.; Meziani, Z.-E.; Michaels, R.; Milbrath, B.; Miller, G. W.; Mitchell, J.; Morand, L.; Neyret, D.; Pedrisat, C.; Petratos, G. G.; Pomatsalyuk, R.; Price, J. S.; Prout, D.; Punjabi, V.; Pussieux, T.; Quemener, G.; Ransome, R. D.; Relyea, D.; Roblin, Y.; Roche, J.; Rutledge, G. A.; Rutt, P. M.; Rvachev, M.; Sabatie, F.; Saha, A.; Souder, P. A.; Spradlin, M.; Strauch, S.; Suleiman, R.; Templon, J.; Teresawa, T.; Thompson,

J.; Tieulent, R.; Todor, L.; Tonguc, B. T.; Ulmer, P. E.; Urciuoli, G. M.; Vlahovic, B.; Wijesooriya, K.; Wilson, R.; Wojtsekhowski, B.; Woo, R.; Xu, W.; Younus, I.; Zhang, C.

Tourius, 1.; Zhang, C

CORPORATE SOURCE: California State University, Los Angeles, Los Angeles,

CA, 90032, USA

SOURCE: Physical Review C: Nuclear Physics (2004), 69(6),

065501/1-065501/35

CODEN: PRVCAN; ISSN: 0556-2813

PUBLISHER: American Physical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB We have measured the parity-violating electroweak asymmetry in the elastic scattering of polarized electrons from protons. Significant contributions to this asymmetry could arise from the contributions of strange form factors in the nucleon. The measured asymmetry is A=-15.05.+- .0.98(stat) $\pm$ 0.56(syst) ppm at the kinematic point  $<\theta$ lab>=12.3° and <02>=0.477 (GeV/c)2. Based on these data as well as data on electromagnetic form factors, we extract the linear combination of strange form factors GsE+0.392GsM=0.014 $\pm$ 0.020 $\pm$ 0.010, where the first error arises from this experiment and the second arises from the electromagnetic form factor data. This paper provides a full description of the special exptl. techniques employed for precisely measuring the small asymmetry, including the first use of a strained GaAs crystal and a laser-Compton polarimeter in a fixed target parity-violation experiment

REFERENCE COUNT: 148 THERE ARE 148 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L35 ANSWER 18 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2003:833747 ZCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 140:170624

TITLE: Measurement of the mass difference m(Ds+) - m(D+) at

CDF II

AUTHOR(S): Acosta, D.; Affolder, T.; Ahn, M. H.; Akimoto, T.;

Albrow, M. G.; Alcorn, B.; Alexander, C.; Allen, D.; Allspach, D.; Amaral, P.; Ambrose, D.; Amendolia, S.

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AB We present a measurement of the mass difference m(Ds+) - m(D+), where both the Ds+ and D+ are reconstructed in the .vphi. $\pi$ + decay channel. This measurement uses 11.6 pb-1 of data collected by CDF II using the new displaced-track trigger. The mass difference is found to be m(Ds+) - m(D+) =

99.41 $\pm$ 0.38(stat.) $\pm$ 0.21(syst.) MeV/c2.

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                   Sisakyan, A.; Skiba, A.; Slaughter, A. J.; Sliwa, K.;
                   Smith, J.; Snider, F. D.; Snihur, R.; Somalwar, V.;
                   Spalding, J.; Spezziga, M.; Spiegel, L.; Spinella, F.;
                   Spiropulu, M.; Stadie, H.; Stanek, R.; Stanfield, N.;
                   Stelzer, B.; Stelzer-Chilton, O.; Strolgas, J.;
                   Stuart, D.; Stuermer, W.; Sukhanov, A.; Sumorok, K.;
                   Sun, H.; Suzuki, T.; Syu, J.; Szymulanski, A.;
                   Taffard, A.; Takach, S. F.; Takano, H.; Takashima, R.;
                   Takeuchi, Y.; Takikawa, K.; Tamburello, P.; Tanaka,
                   M.; Tanaka, R.; Tang, D.; Tanimoto, N.; Tannenbaum,
                   B.; Tapprogge, S.; Taylor, R. D.; Teafoe, G.; Tecchio,
                   M.; Teng, P. K.; Terashi, K.; Terentieva, T.; Tesarek,
                   R. J.; Tether, S.; Thom, J.; Thomas, A.; Thompson, A.
                   S.; Thomson, E.; Thurman-Keup, R.; Timm, S.; Tipton,
                   P.; Tkaczyk, S.; Toback, D.; Tollefson, K.; Tonelli,
                   D.; Tonnesmann, M.; Toretta, D.; Trimby, C.; Trischuk,
                   W.; Trumbo, J.; Tseng, J.; Tsuchiya, R.; Tsuno, S.;
                   Tsybychev, D.; Turini, N.; Turner, M.; Ukegawa, F.;
                   Unverhau, T.; Uozumi, S.; Usynin, D.; Vacavant, L.;
                   Vaiciulis, T.; Van Berg, R.; Varganov, A.; Vataga, E.;
                   Vejcik, S., III; Velev, G.; Veramendi, G.; Vickey, T.;
                   Vidal, R.; Vila, I.; Vilar, R.; Vittone, M.; Voirin,
                   J.; Vollmer, B.; Vollrath, I.; Volobouev, I.; von der
                   Mey, M.; Votava, M.; Wagner, R. G.; Wagner, R. L.;
                   Wagner, W.; Wallace, N.; Walter, T.; Walters, A.; Wan,
                   Z.; Wandersee, A.; Wang, M. J.; Wang, S. M.; Ward, B.;
                   Waschke, S.; Waters, D.; Watts, T.; Weber, M.; Weems,
                   L.; Wenzel, H.; Wester, W.; Whitehouse, B.;
                   Wickenberg, W.; Wicklund, A. B.; Wicklund, E.;
                   Wigmans, R.; Wike, C.; Wilkes, T.; Williams, H. H.;
                   Wilson, P.; Winer, B. L.; Wittich, P.; Wolbers, S.;
                   Wolter, M.; Wong, M.; Worcester, M.; Worland, R.;
                   Worm, S.; Wright, T.; Wu, J.; Wu, X.; Wuetrthwein, F.;
                   Wyatt, A.; Yagil, A.; Yamamoto, K.; Yamashita, T.;
                   Yang, U. K.; Yao, W.; Yarma, R.; Yeh, G. P.; Yi, K.;
                   Yocum, D.; Yoh, J.; Yoon, P.; Yorita, K.; Yoshida, T.;
                   Yu, I.; Yu, S.; Yu, Z.; Yun, J. C.; Zalokar, M.;
                   Zanello, L.; Zanetti, A.; Zaw, I.; Zetti, F.; Zhou,
                   J.; Zimmerman, T.; Zxenei, A.; Zucchelli, S.
                   Univ. Florida, Gainesville, FL, 32611, USA
                   Physical Review D: Particles and Fields (2003), 68(7),
                   072004/1-072004/11
                   CODEN: PRVDAQ; ISSN: 0556-2821
                   American Physical Society
                   Journal
                   English
We present a measurement of the mass difference m(Ds+)-m(D+), where both the
Ds+ and D+ are reconstructed in the \phi\pi+ decay channel. This measurement uses
11.6 pb-1 of data collected by CDF II using the new displaced-track trigger.
The mass difference is m(Ds+)-m(D+)=99.41.+-.0.38(stat)\pm0.21(syst) MeV/c2.
                         THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
                   18
                         RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

10/576653 ACCESSION NUMBER: 2001:409809 ZCAPLUS Full-text DOCUMENT NUMBER: 136:91794 TITLE: New measurement of parity violation in elastic electron-proton scattering and implications for strange form factors AUTHOR(S): Aniol, K. A.; Armstrong, D. S.; Averett, T.; Baylac, M.; Burtin, E.; Calarco, J.; Cates, G. D.; Cavata, C.; Chai, Z.; Chang, C. C.; Chen, J.-P.; Chudakov, E.; Cisbani, E.; Coman, M.; Dale, D.; Deur, A.; Djawotho, P.; Epstein, M. B.; Escoffier, S.; Ewell, L.; Falletto, N.; Finn, J. M.; Fleck, A.; Frois, B.; Frullani, S.; Gao, J.; Garibaldi, F.; Gasparian, A.; Gerstner, G. M.; Gilman, R.; Glamazdin, A.; Gomez, J.; Gorbenko, V.; Hansen, O.; Hersman, F.; Higinbotham, D. W.; Holmes, R.; Holtrop, M.; Humensky, B.; Incerti, S.; Iodice, M.; de Jager, C. W.; Jardillier, J.; Jiang, X.; Jones, M. K.; Jorda, J.; Jutier, C.; Kahl, W.; Kelly, J. J.; Kim, D. H.; Kim, M.-J.; Kim, M. S.; Kominis, I.; Kooijman, E.; Kramer, K.; Kumar, K. S.; Kuss, M.; LeRose, J.; De Leo, R.; Leuschner, M.; Lhuillier, D.; Liang, M.; Liyanage, N.; Lourie, R.; Madey, R.; Malov, S.; Margaziotis, D. J.; Marie, F.; Markowitz, P.; Martino, J.; Mastromarino, P.; McCormick, K.; McIntyre, J.; Meziani, Z.-E.; Michaels, R.; Milbrath, B.; Miller, G. W.; Mitchell, J.; Morand, L.; Neyret, D.; Petratos, G. G.; Pomatsalyuk, R.; Price, J. S.; Prout, D.; Pussieux, T.; Quemener, G.; Ransome, R. D.; Relyea, D.; Roblin, Y.; Roche, J.; Rutledge, G. A.; Rutt, P. M.; Rvachev, M.; Sabatie, F.; Saha, A.; Souder, P. A.; Spradlin, M.; Strauch, S.; Suleiman, R.; Templon, J.; Teresawa, T.; Thompson, J.; Tieulent, R.; Todor, L.; Tonguc, B. T.; Ulmer, P. E.; Urciuoli, G. M.; Vlahovic, B.; Wijesooriya, K.; Wilson, R.; Wojtsekhowski, B.; Woo, R.; Mu, W.; Younus, I.; Zhang, C. California State University-Los Angeles, Los Angeles, CORPORATE SOURCE: CA, 90032, USA Physics Letters B (2001), 509(3,4), 211-216 SOURCE: CODEN: PYLBAJ; ISSN: 0370-2693 Elsevier Science B.V. PUBLISHER: DOCUMENT TYPE: Journal English LANGUAGE: We have measured the parity-violating electroweak asymmetry in the elastic scattering of polarized electrons from the proton. The result is A=-

 $15.05\pm0.98$ (stat) $\pm0.56$ (syst) ppm at the kinematic point  $<\theta$ lab>=12.3° and < Q2 > = 0.477

(GeV/c)2. Both errors are a factor of two smaller than those of the result reported previously. The value for the strange form factor extracted from the data is  $(GsE+0.392 GsM)=0.025\pm0.020\pm0.014$ , where the first error is exptl. and the second arises from the uncertainties in electromagnetic form factors. This measurement is the first fixed-target parity violation experiment that used either a "strained" GaAs photocathode to produce highly polarized electrons or a Compton polarimeter to continuously monitor the electron beam polarization.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 21 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2000:389470 ZCAPLUS Full-text DOCUMENT NUMBER: 133:64700

DOCUMENT NUMBER:

117:184382

TITLE: New measurement of parity violation in elastic electron-proton scattering and implications for strange form factors AUTHOR(S): Aniol, K. A.; Armstrong, D. S.; Averett, T.; Baylac, M.; Burtin, E.; Calarco, J.; Cates, G. D.; Cavata, C.; Chai, Z.; Chang, C. C.; Chen, J.-P.; Chudakov, E.; Cisbani, E.; Coman, M.; Dale, D.; Deur, A.; Djawotho, P.; Epstein, M. B.; Escoffier, S.; Ewell, L.; Falletto, N.; Finn, J. M.; Fleck, A.; Frois, B.; Frullani, S.; Gao, J.; Garibaldi, F.; Gasparian, A.; Gerstner, G. M.; Gilman, R.; Glamazdin, A.; Gomez, J.; Gorbenko, V.; Hansen, O.; Hersman, F.; Higinbotham, D. W.; Holmes, R.; Holtrop, M.; Humensky, B.; Incerti, S.; Iodice, M.; de Jager, C. W.; Jardillier, J.; Jiang, X.; Jones, M. K.; Jorda, J.; Jutier, C.; Kahl, W.; Kelly, J. J.; Kim, D. H.; Kim, M.-J.; Kim, M. S.; Kominis, I.; Kooijman, E.; Kramer, K.; Kumar, K. S.; Kuss, M.; LeRose, J.; De Leo, R.; Leuschner, M.; Lhuillier, D.; Liang, M.; Liyanage, N.; Lourie, R.; Madey, R.; Malov, S.; Margaziotis, D. J.; Marie, F.; Markowitz, P.; Martino, J.; Mastromarino, P.; McCormick, K.; McIntyre, J.; Meziani, Z.-E.; Michaels, R.; Milbrath, B.; Miller, G. W.; Mitchell, J.; Morand, L.; Neyret, D.; Petratos, G. G.; Pomatsalyuk, R.; Price, J. S.; Prout, D.; Pussieux, T.; Quemener, G.; Ransome, R. D.; Relyea, D.; Roblin, Y.; Roche, J.; Rutledge, G. A.; Rutt, P. M.; Rvachev, M.; Sabatie, F.; Saha, A.; Souder, P. A.; Spradlin, M.; Strauch, S.; Suleiman, R.; Templon, J.; Teresawa, T.; Thompson, J.; Tieulent, R.; Todor, L.; Tonguc, B. T.; Ulmer, P. E.; Urciuoli, G. M.; Vlahovic, B.; Wijesooriya, K.; Wilson, R.; Wojtsekhowski, B.; Woo, R.; Xu, W.; Younus, I.; Zhang, C. CORPORATE SOURCE: HAPPEX Collaboration, California State Univ., Los Angeles, CA, 90032, USA Los Alamos National Laboratory, Preprint Archive, SOURCE: Nuclear Experiment (2000) 1-6, arXiv:nucl-ex/0006002, 6 Jun 2000 CODEN: LNNEFO URL: http://xxx.lanl.gov/pdf/nucl-ex/0006002 Los Alamos National Laboratory PUBLISHER: DOCUMENT TYPE: Preprint LANGUAGE: English We have measured the parity-violating electroweak asymmetry in the elastic scattering of polarized electrons from the proton. The result is A = $14.60\pm0.94$ (stat)  $\pm0.54$ (syst) ppm at the kinematic point  $<\theta$ lab> =  $12.3^{\circ}$  and <Q2> 0.477 (GeV/c)2. The measurement implies that the value for the strange form factor (GES+0.392GMp/ $\mu$ p) = 0.091 $\pm$ 0.054 $\pm$ 0.039, where the first error is exptl. and the second arises from the uncertainties in electromagnetic form factors. This measurement is the first fixed-target parity violation experiment that used either a "strained" GaAs photocathode to produce highly polarized electrons or a Compton polarimeter to continuously monitor the electron beam polarization. REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L35 ANSWER 22 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:584382 ZCAPLUS Full-text

ORIGINAL REFERENCE NO.: 117:31597a,31600a

TITLE: Cardiotoxicity of three anthracycline antitumor

antibiotics

AUTHOR(S): Li, Xiangduan; Shi, Anguo; Fu, Wenjun; Cheng, Weiju;

Xu, Wenyi; Pan, Xianxin

CORPORATE SOURCE: Shanghai Inst. Pharm. Ind., Shanghai, 200437, Peop.

Rep. China

SOURCE: Zhongquo Yiyao Gongye Zazhi (1992), 23(3), 116-19

CODEN: ZYGZEA; ISSN: 1001-8255

DOCUMENT TYPE: Journal LANGUAGE: Chinese

AB The cardiotoxicity of daunorubicin (DNR), adriamycin (ADM), and aclacinomycin-B (ACM-B) was investigated in rabbits by measuring ECG, systolic time

interval, and myocardial pathomorphol. changes. ADM and ACM-B caused

arrhythmia and all 3 drugs damaged the cardiac function and myocardial histol.

L35 ANSWER 23 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1987:521660 ZCAPLUS Full-text

DOCUMENT NUMBER: 107:121660

ORIGINAL REFERENCE NO.: 107:19599a,19602a

TITLE: Light scattering in a dilute microemulsion. II.

Radius dependence of interactions

AUTHOR(S): Dozier, William D.; Kim, Mahn Won; Klein, Rudolf CORPORATE SOURCE: Exxon Res. and Eng. Co., Annandale, NJ, 08801, USA SOURCE: Journal of Chemical Physics (1987), 87(2), 1455-6

CODEN: JCPSA6; ISSN: 0021-9606

DOCUMENT TYPE: Journal LANGUAGE: English

AB The interactions between microemulsion droplets was studied on the same type microemulsion, having different weight ratios of surfactant/H2O and hence different drop radii. The investigated system was AOT-H2O-decane, with 37, 45, and 55 Å radii of droplets. The mutual diffusion coefficient and the static structure factor were determined as functions of both droplet radius and volume fraction of the minor component. The results agree with theor. prediction.

L35 ANSWER 24 OF 31 ZCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1986:231037 ZCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 104:231037

ORIGINAL REFERENCE NO.: 104:36551a,36554a

TITLE: Light scattering measurements in a dilute

microemulsion

AUTHOR(S): Kim, Mahn Won; Dozier, William D.; Klein, Rudolf

CORPORATE SOURCE: Exxon Res. Eng., Annandale, NJ, 08801, USA

SOURCE: Journal of Chemical Physics (1986), 84(10), 5919-21

CODEN: JCPSA6; ISSN: 0021-9606

DOCUMENT TYPE: Journal LANGUAGE: English

AB There was measured the mutual diffusion coefficient and static light scattering intensity at small angle of a water-in-oil microemulsion at low (0.005-0.04) minor component volume fraction. The system studied was AOT/water/decane at 25°. A linear dependence was on volume fraction for both quantities, with viral coeffs. of -17 and -11, resp., for the static structure factor and mutual diffusion coefficient. Using available expressions for these coeffs. as a function of the parameters of a model potential consisting of an attractive square well and a hard core, these results are in agreement with those previously obtained by neutron scattering.

L35 ANSWER 25 OF 31 MEDLINE on STN DUPLICATE 16

ACCESSION NUMBER: 1987079826 MEDLINE <u>Full-text</u>

DOCUMENT NUMBER: PubMed ID: 3098513

TITLE: T lymphocyte subpopulations in chronic renal failure. AUTHOR: Hou J S; Ma J M; Feng H F; Zuo J N; Cheng W Y; Zhu X;

Huang J W; Xu W Z; Gu H D; Zhu B F

SOURCE: Chinese medical journal, (1986 Apr) Vol. 99, No. 4, pp.

321-2.

Journal code: 7513795. ISSN: 0366-6999.

PUB. COUNTRY: China

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 198702

ENTRY DATE: Entered STN: 2 Mar 1990

Last Updated on STN: 2 Mar 1990 Entered Medline: 10 Feb 1987

CONTROLLED TERM: Check Tags: Female; Male

Adolescent Adult Humans

\*Kidney Failure, Chronic: IM, immunology

Killer Cells: IM, immunology

Leukocyte Count Middle Aged

\*T-Lymphocytes: CL, classification

L35 ANSWER 26 OF 31 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on

STN

ACCESSION NUMBER: 1993:458286 BIOSIS Full-text

DOCUMENT NUMBER: PREV199396103186

TITLE: Studies on the chemical constituents of Rosa laevigata

Michx.

AUTHOR(S): Gao, Ying [Reprint author]; Cheng, Weiming [Reprint

author]; Li, Guangyi [Reprint author]; Xu, Weikun; Meng,

Lisan

CORPORATE SOURCE: Inst. Materia Medica, Chinese Acad. Med. Sci., Beijing

100060. China

SOURCE: China Journal of Chinese Materia Medica, (1993) Vol. 18,

No. 7, pp. 426-427, 447.

DOCUMENT TYPE: Article LANGUAGE: Chinese

ENTRY DATE: Entered STN: 5 Oct 1993

Last Updated on STN: 5 Oct 1993

ABSTRACT:Six compounds were isolated from Rosa laevigata. Five of them were obtained from the ethanolic extract and identified as 2-alpha,3-beta,19-alpha,23-tetrahydroxyurs-12-en-28-oic acid, 2-alpha,3-alpha,19-alpha,23-tetrahydroxyurs-12-en-28-oic acid, euscaphic acid, beta-sitosterol and

daucosterol. The other one was obtained from the acetate of emulsive layer of the petroleum ether and elucidated as 2-alpha, 3-beta-dihydrolup-28-methyl ester diacetate.

CONCEPT CODE: Biochemistry studies - Lipids 10066

Pharmacology - General 22002

Plant physiology - Chemical constituents 51522 Pharmacognosy and pharmaceutical botany 54000

INDEX TERMS: Major Concepts

Biochemistry and Molecular Biophysics; Pharmacognosy

(Pharmacology); Pharmacology

INDEX TERMS: Miscellaneous Descriptors

AMYGDALIN; BETULIC ACID; MEDICINAL PLANT; STEARIC ACID

ORGANISM: Classifier

Araliaceae 25590

Super Taxa

Dicotyledones; Angiospermae; Spermatophyta; Plantae

Organism Name

Acanthopanax senticosus

Taxa Notes

Angiosperms, Dicots, Plants, Spermatophytes, Vascular

Plants

ORGANISM: Classifier

Rosaceae 26675

Super Taxa

Dicotyledones; Angiospermae; Spermatophyta; Plantae

Organism Name Rosaceae Taxa Notes

Angiosperms, Dicots, Plants, Spermatophytes, Vascular

Plants

L35 ANSWER 27 OF 31 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN

ACCESSION NUMBER: 2008-E86548 [34] WPIX <u>Full-text</u>

DOC. NO. NON-CPI: N2008-383176 [34]

TITLE: Multi-read port register documentation level drive bit

unit circuit, has output terminal connected with read port unit, where size of inverter is increased along direction from memory bank core unit to read port unit

illection from memory bank core unit to read

DERWENT CLASS: U14

INVENTOR: CHEN J; CHEN N; DONG L; HE P; HE X; 3.8 D; LI S; LIU T;

LIU Z; MA J; SUN Y; TANG S; XV W; ZHANG M; ZHAO Z

PATENT ASSIGNEE: (UYCH-N) UNIV CHINESE PEOPLES LIBERATION ARMY NAT

COUNTRY COUNT: 1

PATENT INFORMATION:

PATENT NO KIND DATE WEEK LA PG MAIN IPC

CN 101110261 A 20080123 (200834)\* ZH 9[4]

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE

\_\_\_\_\_\_

CN 101110261 A CN 2007-10035331 20070710

PRIORITY APPLN. INFO: CN 2007-10035331 20070710

INT. PATENT CLASSIF.:

IPC ORIGINAL: G11C0007-00 [I,C]; G11C0007-22 [I,A]

BASIC ABSTRACT:

CN 101110261 A UPAB: 20080528

NOVELTY - The circuit has p-type metal oxide semiconductor (PMOS) tubes (P1, P2) and n-type MOS (NMOS) tubes (N1, N2, N3), which form tri-state memory bank core unit, read port unit, write port unit and inverter chain. The write port unit is connected with the memory bank core unit, where the inverter has

different sizes. The input terminal of inverter chain is connected with memory bank core unit. An output terminal is connected with read port unit. The size of inverter is increased steadily and progressively along the direction from memory bank core unit to read port unit in inverter chain.

USE - Multi-read port register documentation level drive bit unit circuit.

ADVANTAGE - The multi-read port register documentation level drive bit unit circuit reduces the drive load of core unit, to reduce the acreage of core unit, and confirms that the data is read correctly.

DESCRIPTION OF DRAWINGS - The drawing shows a circuit block diagram of a multi-read port register documentation level drive bit unit circuit.'(Drawing includes non-English language text)'

P-type metal oxide semiconductor tube (P1, P2)

N-type metal oxide semiconductor tube (N1-N3) MANUAL CODE:

EPI: U14-A07; U14-A07C; U14-A08B1

L35 ANSWER 28 OF 31 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN

ACCESSION NUMBER: 2008-E52116 [31] WPIX <u>Full-text</u>
DOC. NO. NON-CPI: N2008-353600 [31]

TITLE: Sub-word parallel integer multiplier, has data

pre-process module provided for inputting with

multiplicand and multiplier factor and control signal, and correction selection module fixed for selecting and

uniting correction values

DERWENT CLASS: T01

CHEN J; CHEN N; DONG L; HE P; HE X; LE D; LI S; LIU T; INVENTOR:

MA J; SUN Y; XV W; YU R; ZHANG M; ZHAO Z; ZHENG D

PATENT ASSIGNEE: (UYPL-N) UNIV PLA NAT DEFENCE SCI & TECHJNOLOGY

COUNTRY COUNT:

PATENT INFORMATION:

PATENT NO KIND DATE WEEK LA PG

CN 101110016 A 20080123 (200831)\* ZH 13[4]

APPLICATION DETAILS:

APPLICATION DATE PATENT NO KIND

CN 101110016 A CN 2007-10035651 20070829

PRIORITY APPLN. INFO: CN 2007-10035651 20070829

INT. PATENT CLASSIF.:

IPC ORIGINAL: G06F0007-48 [I,C]; G06F0007-53 [I,A]

BASIC ABSTRACT:

CN 101110016 A UPAB: 20080514

NOVELTY - The multiplier has a data pre-process module provided for inputting with a multiplicand and multiplier factor and control signal, and expanding the multiplicand and multiplier factor. A correction selection module is fixed for selecting and uniting correction values. Four partition generation modules are respectively placed to generate a nine partition products of two low and two high bit multiplication. A partition product compression tree module is equipped for compressing partition product generated by partition product generation module and united correction value.

USE - Sub-word parallel integer multiplier.

ADVANTAGE - The multiplier has simple configuration, simplified arithmetic and actualization. The multiplier reduces the delay of the partition product compression unit, and improves performance of the multiplier.

DESCRIPTION OF DRAWINGS - The drawing shows a block diagram of a subword parallel integer multiplier. '(Drawing includes non-English language text)' MANUAL CODE: EPI: T01-E01B

L35 ANSWER 29 OF 31 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN

ACCESSION NUMBER: 2008-C18525 [16] WPIX Full-text

DOC. NO. CPI: C2008-062582 [16]

TITLE: New azepinoindole compounds are farnesoid X receptor

inhibitors useful for the treatment of e.g.

hyperlipidemia, dyslipidemia, atherosclerosis, syndrome X, diabetes mellitus, hyperglycemia, cholestasis and

obesity

DERWENT CLASS: B02; B05

BAIK T; BUHR C A; BUSCH B B; CHAN D S; FLATT B T; GU X H; INVENTOR:

> JAMMALAMADAKA V; KHOURY R G; LARA K; MA S; MARTIN R; MOHAN R; NUSS J M; PARKS J J; BUHR C; BUSCH B; CHAN D; FLATT B; GU X; KHOURY R; NUSS J; PARKS J; WANG L; WANG

T; WU J; XU W; YEUNG B

PATENT ASSIGNEE: (EXEL-N) EXELIXIS INC

117 COUNTRY COUNT:

PATENT INFORMATION:

PATENT NO KIND DATE WEEK LA PG MAIN IPC

WO 2007070796 A1 20070621 (200816)\* EN 244[0]

EP 1963331 A1 20080903 (200858) EN

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE \_\_\_\_\_\_

WO 2007070796 A1 WO 2006-US61928 20061212 EP 1963331 A1 EP 2006-846570 20061212 EP 1963331 A1 PCT Application WO 2006-US61928 20061212

FILING DETAILS:

PATENT NO KIND PATENT NO EP 1963331 A1 Based on WO 2007070796 A

PRIORITY APPLN. INFO: US 2005-750679P 20051215 US 2005-750634P 20051215

INT. PATENT CLASSIF.:

IPC ORIGINAL: A61K0031-407 [I,A]; A61K0031-407 [I,C]; A61K0031-407

[I,C]; A61P0003-00 [I,A]; A61P0003-00 [I,C]; A61P0003-00 [I,C]; C07D0487-00 [I,C]; C07D0487-00 [I,C]; C07D0487-04

[I,A]

ECLA: C07D0487-04+223B+209B

BASIC ABSTRACT:

WO 2007070796 A1 UPAB: 20080306

NOVELTY - Azepinoindole compounds (I) are new.

DETAILED DESCRIPTION - Azepinoindole compounds of formula (I) are new.

R1 = -C(J)R11, -C(J)OR11 or -C(J)N(R10)(R11);

J = direct bond, O or NR10;

n = 0 - 4;

R3 = H, -C(0)R9 or CON(R11)(R12);

R6, R7 = (cyclo)alkyl or cycloalkylalkyl (both optionally substituted);

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R8 = alkenyl, alkynyl, (cyclo)alkyl, cycloalkylalkyl,
heterocyclyl(alkyl), (hetero)aryl, (hetero)aralkyl (all optionally
substituted), OH, halo(alkyl), haloalkoxy, -OC(0)N(R15)(R16), -OC(0)R11 or -
OR20;
       R9 = alkenyl, alkynyl, (cyclo)alkyl, cycloalkylalkyl, (hetero)aryl,
(hetero)aralkyl, heterocyclylalkyl, heterocyclyl (all optionally substituted),
OR10 or N(R12)(R13);
       R10 = alkenyl, alkynyl, (cyclo)alkyl, cycloalkylalkyl, heterocyclyl,
heterocyclylalkyl, (hetero)aryl, (hetero)aralkyl (all optionally substituted)
or H;
       R11 = T, H, -OR14 or -N(R15)(R16);
       T = alkenyl, alkynyl, (cyclo)alkyl, cycloalkylalkyl, heterocyclyl,
heterocyclylalkyl, (hetero)aryl or (hetero)aralkyl (all optionally
substituted); either
       R12, R13, R18, R20, R11, R25, R26 = T or H; or
       NR12R13, NR20R21 = heterocyclyl or heteroaryl (both optionally
substituted); either
       R10-R13 = T \text{ or } H; \text{ or}
       R10R11R12R13 = heterocyclic ring or heteroaryl ring (both optionally
substituted); either
       R14-R16 = H, -OR18, -SR18, -N(R20)(R21) or T; or
       NR15R16 = heterocyclyl ring or heteroaryl ring (both optionally
       R17 = alkyl, alkenyl, alkynyl (optioanlly substituted) or H;
       R19 = alkylene or direct bond;
       R22 = H, T, -R19-OR23, -R19-N(R23)(R24), -R19-C(J)R23, -R19-C(J)OR23 or
-R19-C(J)N(R23)(R24); either
       R23, R24 = H, T, -R19-OR25, -R19-N(R25)(R26), -R19-C(J)R25, -R19-
C(J) OR25 \text{ or } -R19-C(J) N(R25) (R26); \text{ or }
       NR23R24 = heterocyclyl or heteroaryl (both optionally substituted)
(where R21-R26 is substituted by Q1); either
       Q1 = halo, pseudohalo, OH, oxo, thia, nitrile, MO2, formyl, mercapto,
NH2, hydroxyalkyl, hydroxyalkylaryloxy, hydroxyaryl, hydroxyalkylaryl,
hydroxycarbonyl, hydroxycarbonylalkyl, (halo)alkyl, polyhaloalkyl,
(di)aminoalkyl, alkenyl containing 1-2 double bonds, alkynyl containing 1-2
triple bonds, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl,
(di)aryl, hydroxyaryl, alkylaryl, heteroaryl, aralkyl, aralkenyl, aralkynyl,
alkylaralkyl, heteroarylalkyl, trialkylsilyl, dialkylarylsilyl,
alkyldiarylsilyl, triarylsilyl, alkylidene, arylalkylidene, alkylcarbonyl,
alkylarylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, heteroarylcarbonyl,
heteroarylalkoxycarbonyl, alkoxycarbonyl, alkoxycarbonylalkyl,
alkoxycarbonylaryloxy, aryloxycarbonyl, aryloxycarbonylalkyl,
heterocyclylcarbonylalkylaryl, aralkoxycarbonyl, aralkoxycarbonylalkyl,
arylcarbonylalkyl, aminocarbonyl, (di)alkylaminocarbonyl,
(di)arylaminocarbonyl, arylalkylaminocarbonyl, (halo)alkoxy, alkoxyaryloxy,
alkylaryloxy, (di)aryloxy, alkylaryloxyalkyl, alkyldiaryloxy, perfluoroalkoxy,
alkcnyloxy, alkynyloxy, aryloxyalkaoxy, aralkoxyaryloxy,
alkylarylcycloalkyloxy, heterocycloxy, alkoxyalkyl, alkoxyalkoxyalkyl,
alkylheteroaryloxy, alkylcycloalkoxy, cycloalkyloxy, heterocyclyloxy,
aralkoxy, haloaryloxy, heteroaryloxy, alkylheteroaryloxy,
alkoxycarbonylheterocycloxy, alkylcarbonylaryloxy, alkylcarbonyloxy,
arylcarbonyloxy, aralkylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy,
alkoxyaryloxy, aralkoxycarbonyloxy, ureido, alkylureido, arylureido, NH2,
aminoalkyl, (di)alkylaminoalkyl, (di)arylaminoalkyl, alkylarylaminoalkyl,
(di)alkylamino, haloalkylamino, haloalkylarylamino, (di)arylamino,
alkylarylamino, aralkylamino, alkylcarbonylamino, aralkylcarbonylamino,
haloalkylcarbonylamino, alkoxycarbonylamino, aralkoxycarbonylamino,
arylcarbonylamino, arylcarbonylaminoalkyl, aryloxycarbonylaminoalkyl,
aryloxyaiylcarbonylarnino, aryloxycarbonylamino, alkylenedioxyalkyl,
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dialkylalkylenedioxyalkyl, alkylsulfonylamino, alylsulfonylamino, azido,

dialkylphosphonyl, alkylarylphosphonyl, diarylphosphonyl, alkylthio, arylthio, perfluoroalkylthio, hydroxycarbonylalkylthio, (iso)thiocyano, alkylsulflnyl, alkylsulfonyl, arylsxilfinyl, arylsulfonyl, aminosulfonyl, alkylarninosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl, diarylaxninosulfonyl or alkylarylammosulfonyl (all optionally substituted by 1-4 substituents of Q2); or

Q1Q1 = alkylendioxy, thioalkylenoxy or alkylenedithioxy (all substituted by 1,2 or 1,3 arrangement);

z = 1 or 2; and

Q2 = halo, pseudohalo, OH, oxo, thia, nitrile, NO2, formyl, mercapto, NH2, hydroxyalkyl, hydroxyaryl, hydroxycarbonyl, (halo)alkyl, polyhaloalkyl, (di)aminoalkyl, alkenyl containing 1-2 double bonds, alkynyl containing 1-2 triple bonds, cycloalkyl, heterocyclyl, (hetero)aryl, aralkyl, aralkenyl, aralkynyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, aryloxycarbonylakyl, aminocarbonyl, alkoxy, aryloxy, aralkoxy, alkylenedioxy, NH2, (di)alkylamino, (di)arylamino, (di)arylamino, haloalkylamino, (di)arylamino, alkylarylamino, aralkylamino, alkoxycarbonylamino, arylcarbonylamino, alkylthio or arylthio.

INDEPENDENT CLAIMS are included for:

- (1) a composition comprising (I);
- (2) a method of reducing plasma cholesterol level or plasma triglyceride level comprising (I);
- (3) a method of modulating cholesterol metabolism, catabolism, synthesis, absorption, re-absorption, secretion or excretion in a mammal comprising administering (I); and
- (4) a method for modulating farnesoid X receptor activity comprising contacting a cell with (I).

ACTIVITY - Antilipemic; Hemostatic; Antiarteriosclerotic; Metabolic; Cardiovascular-Gen.; Antidiabetic; Gastrointestinal-Gen.; Anorectic.

MECHANISM OF ACTION - Farnesoid X receptor inhibitor. (I) were tested for farnesoid X receptor inhibitory activity using a biological assay. The median inhibitory concentration of 1-methylethyl 3-((3-hydroxyphenyl)carbonyl)-1,1-dimethyl-1,2,3,6-tetrahydroazepino(4,5-b)indole-5-carboxylate was <math>0.001-0.01 muM.

USE - (I) are useful for the treatment, prevention, inhibition or amelioration of symptoms of a disease or disorder in which nuclear receptor activity such as hyperlipidemia, hypercholesterolernia, hypertriglyceridemia, dyslipidemia, lipodystrophy, atherosclerosis, atherosclerotic disease, atherosclerotic disease events, atherosclerotic cardiovascular disease, Syndrome X, diabetes mellitus, type II diabetes, insulin insensitivity, hyperglycemia, cholestasis and obesity (claimed).

ADVANTAGE - (I): exhibits extremely high affinity for the farnesoid X receptor, and high potency in vivo; and has ability to reduce both plasma triglyceride and cholesterol levels in normal and hyperlipidemic animal models.

MANUAL CODE: CPI: B01-D02; B03-D; B03-E; B03-F; B03-H; B04-C01C;

B04-C01G; B04-C01H; B04-J03A; B06-B01; B06-D16; B07-D03; B07-D04B; B08-D01; B10-A23; B10-B01B; B10-B02B; B10-B03B; B10-B04B; B10-C03; B10-F02; B14-D02A2; B14-E12; B14-F01; B14-F06; B14-F06A; B14-F07; B14-F09; B14-L06; B14-S04; B14-S04A; B14-S13

L35 ANSWER 30 OF 31 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN ACCESSION NUMBER: 2008-F02407 [35] WPIX Full-text

DOC. NO. NON-CPI: N2008-395119 [35]

TITLE: Gunning transceiver logic output circuit, has control end linked with dispersion gate NAND via inverters, and NAND

linked with grid of drive tube negative channel metal oxide semiconductor that is coupled with assistant charge  $% \left( 1\right) =\left( 1\right) +\left( 1\right) +\left($ 

circuit

DERWENT CLASS: T01; U11; U21

INVENTOR: CHEN J; CHEN N; HE P; LEI J; LI S; MA J; WANG D;

WANG J; WU H; XU W; YU R; ZHANG M; ZHAO Z; ZOU J

PATENT ASSIGNEE: (UYCH-N) UNIV CHINESE PEOPLE LIBERATION ARMY NAVY

COUNTRY COUNT:

PATENT INFORMATION:

PATENT NO KIND DATE WEEK LA PG MAIN IPC

CN 101087138 A 20071212 (200835) \* ZH 7[5]

APPLICATION DETAILS:

KIND APPLICATION DATE PATENT NO

\_\_\_\_\_\_

CN 101087138 A CN 2007-10035326 20070710

PRIORITY APPLN. INFO: CN 2007-10035326 20070710

INT. PATENT CLASSIF.:

IPC ORIGINAL: G06F0013-40 [I,A]; G06F0013-40 [I,C]; H03K0019-0175 [I,A]

; H03K0019-0175 [I,C]

BASIC ABSTRACT:

CN 101087138 A UPAB: 20080604

NOVELTY - The circuit has a control end linked with a dispersion gate NAND via two inverters, and an input end (IN) coupled with the NAND via one of the inverter and a third inverter. The NAND is linked with a grid of a drive tube negative channel metal oxide semiconductor (NMOS). A source electrode of the NMOS is coupled with a ground, and a drain electrode of the NMOS is linked with an output end (OUT) via a terminal resistor. The NMOS is coupled with an assistant charge circuit, and the grid of an assistant charge tube positivechannel metal oxide semiconductor (PMOS) is linked with the NMOS.

USE - Gunning transceiver logic (GTL) output circuit.

ADVANTAGE - The structure of the structure is simple, and the circuit quickly charges the board electrode loading and satisfies the demand of the high frequency. The circuit has better characteristic of anti-change of technique, voltage and temperature.

DESCRIPTION OF DRAWINGS - The drawing shows a circuit diagram of a gunning transceiver logic circuit.'(Drawing includes non-English language text)'.

Input end (IN) Output end (OUT)

EPI: T01-H07A; U11-C05E; U21-C02 MANUAL CODE:

L35 ANSWER 31 OF 31 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN

ACCESSION NUMBER: 2008-D27778 [25] WPIX Full-text

DOC. NO. NON-CPI: N2008-257019 [25]

TITLE: Radio-frequency identification reader

DERWENT CLASS: T01; T04; W02

INVENTOR: CHENG W; DU X; HUANG J; LIU W; XU J; XU W; YU J; ZHAO M

PATENT ASSIGNEE: (UYHU-N) UNIV HUAZHONG SINCE & TECHNOLOGY COUNTRY COUNT: 1

PATENT INFORMATION:

PATENT NO KIND DATE WEEK LA PG MAIN IPC \_\_\_\_\_\_

CN 101013463 A 20070808 (200825) \* ZH [1]

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE

CN 101013463 A CN 2006-10125133 20061124

PRIORITY APPLN. INFO: CN 2006-10125133 20061124

INT. PATENT CLASSIF.:

IPC ORIGINAL: G06K0007-00 [I,A]; G06K0007-00 [I,C]

BASIC ABSTRACT:

CN 101013463 A UPAB: 20080417

NOVELTY - Radio-frequency identification reader belongs to the data read-write handling processor which solves problems such as signal frequency and low intelligentizing of existing reader. In invention comprises micro processor, memorizer, programmable logic device, I/O interface, digital signals processor, radio-frequency artificial circuit, wireless communication module, display screen. The radio-frequency artificial circuit comprises N radio-frequency artificial circuits with different frequencies. N is no less than 2 and is natural number; this invention has integrated radio-frequency identification technology, software wireless communication technology, wireless communication technology and intelligentizing information processing. It can not only achieve identification of all kinds of E-tags but also achieve localizing information processing. Data long-distance alternation is achieved through wireless or line communication at the same time. MANUAL CODE:

EPI: T01-C07C3; T01-E01; T01-M05; T04-K02B; T04-K02C;

T04-K03B; W02-G05

=> file registry
FILE 'REGISTRY' ENTERED AT 15:51:12 ON 01 OCT 2008
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STRUCTURE FILE UPDATES: 30 SEP 2008 HIGHEST RN 1055704-91-0 DICTIONARY FILE UPDATES: 30 SEP 2008 HIGHEST RN 1055704-91-0

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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## http://www.cas.org/support/stngen/stndoc/properties.html

 $0*^{1}$   $9*^{1}$   $S*^{2}$   $16*^{2}$   $N*^{3}$   $11*^{3}$   $C*^{4}$ 

chain nodes :
7 8 22

ring nodes : 1 2 3 4 5 6 25 26 27 28 29 30 ring/chain nodes : 9 10 11 12 18 19 21 24 chain bonds : 2-7 5-8 21-22 ring/chain bonds : 6-18 18-19 19-21 21-24 24-27 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-30 26-27 27-28 28-29 29-30 exact/norm bonds:  $1-2 \quad 1-6 \quad 2-3 \quad 2-7 \quad 3-4 \quad 4-5 \quad 5-6 \quad 5-8 \quad 6-18 \quad 18-19 \quad 19-21 \quad 21-22 \quad 21-24 \quad 24-27$ 25-26 25-30 26-27 27-28 28-29 29-30 G2:[\*1],[\*2],[\*3],[\*4] G3:C, N Connectivity: 7:1 E exact RC ring/chain 21:3 E exact RC ring/chain 22:1 E exact RC ring/chain Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 18:CLASS 19:CLASS 21:CLASS 22:CLASS 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

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FILE COVERS 1907 - 1 Oct 2008 VOL 149 ISS 14 FILE LAST UPDATED: 30 Sep 2008 (20080930/ED)

ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L8

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L7 156 SEA FILE=REGISTRY SSS FUL L5

L8 2 SEA FILE=ZCAPLUS ABB=ON PLU=ON L7

=> file beilstein

FILE 'BEILSTEIN' ENTERED AT 15:51:24 ON 01 OCT 2008
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FILE LAST UPDATED ON April 1, 2008

FILE COVERS 1771 TO 2008.
\*\*\* FILE CONTAINS 10.322,808 SUBSTANCES \*\*\*

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

>>> Price change as of January 1st, 2008: Connect Time and Structure Search fees re-introduced. See NEWS and HELP COST <<<

=> d stat que L10 L5 STI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation. L10  $\,$  0 SEA FILE=BEILSTEIN SSS FUL L5

100.0% PROCESSED 776 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.10

=> file wpix FILE 'WPIX' ENTERED AT 15:51:33 ON 01 OCT 2008

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FILE LAST UPDATED: 30 SEP 2008 <20080930/UP>
MOST RECENT UPDATE: 200862 <200862/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.1 million chemical structures in DCR <<<

>>> IPC Reform backfile reclassifications have been loaded to the end of
June 2008. No update date (UP) has been created for the
reclassified documents, but they can be identified by
20060101/UPIC and 20061231/UPIC, 20070601/UPIC, 20071001/UPIC,
20071130/UPIC, 20080401/UPIC and 20080701/UPIC.
ECLA reclassifications to June and US national classifications to
the end of April 2008 have also been loaded. Update dates
20080401 and 20080701/UPEC and /UPNC have been assigned to these. <<</pre>

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http://www.stn-international.de/training\_center/patents/stn\_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE http://scientific.thomsonreuters.com/support/patents/coverage/latestupdates/

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0: http://www.stn-international.com/archive/presentations/DWPIAnaVist2\_0608.pdf

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'BIX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> d stat que L13 L5 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L12 49 SEA FILE=WPIX SSS FUL L5

L13 1 SEA FILE=WPIX ABB=ON PLU=ON L12/DCR

=> file marpat

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FILE CONTENT: 1961-PRESENT VOL 149 ISS 12 (20080926/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

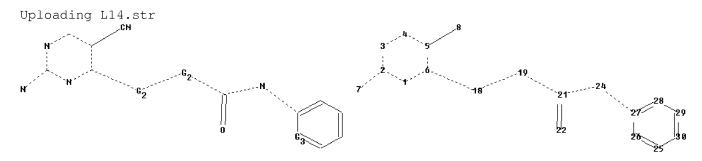
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20080194825 14 AUG 2008
DE 102007007185 14 AUG 2008
EP 1956050 13 AUG 2008
JP 2008182009 07 AUG 2008
WO 2008102086 28 AUG 2008
GB 2444641 11 JUN 2008

FR	2912404	15	AUG	2008
RU	2330029	27	JUL	2008
CA	2615024	14	JUN	2008

Expanded G-group definition display now available.

Effective December 15th the iteration and answer limits in MARPAT have increased from 100,000 to 200,000 for both on-line and batch searches. For more information on MARPAT search limits, type HELP SLIMITS at an arrow prompt.



$$0*^{1}$$
  $9*^{1}$   $S*^{2}$   $10*^{2}$   $N*^{3}$   $C*^{4}$   $12*^{4}$ 

```
chain nodes :
7  8  22
ring nodes :
1  2  3  4  5  6  25  26  27  28  29  30
ring/chain nodes :
9  10  11  12  18  19  21  24
chain bonds :
2-7  5-8  6-18  18-19  19-21  21-22  21-24  24-27
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  25-26  25-30  26-27  27-28  28-29  29-30
exact/norm bonds :
1-2  1-6  2-3  2-7  3-4  4-5  5-6  5-8  6-18  18-19  19-21  21-22  21-24  24-27
25-26  25-30  26-27  27-28  28-29  29-30
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G2:[\*1],[\*2],[\*3],[\*4]

G3:C,N

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Connectivity:
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7:1 E exact RC ring/chain 21:3 E exact RC ring/chain 22:1 E exact RC ring/chain

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 18:CLASS 19:CLASS 21:Atom 22:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

=> d stat que L17 L14 STF

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L16 15 SEA FILE=MARPAT SSS FUL L14

L17 13 SEA FILE=MARPAT ABB=ON PLU=ON L16/COM

=> dup rem L8 L10 L13 L17
L10 HAS NO ANSWERS
DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
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PROCESSING COMPLETED FOR L8

PROCESSING COMPLETED FOR L10

PROCESSING COMPLETED FOR L13

PROCESSING COMPLETED FOR L17

L36 15 DUP REM L8 L10 L13 L17 (1 DUPLICATE REMOVED)

ANSWERS '1-2' FROM FILE ZCAPLUS
ANSWERS '3-15' FROM FILE MARPAT

=> d ibib abs hitstr L36 1-2; d ibib abs qhit L36 3-15

L36 ANSWER 1 OF 15 ZCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2005:395042 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:447414

TITLE: P70S6 kinase modulators and method of use

INVENTOR(S): Cheng, Wei; Co, Erick Wang; Kim, Moon Hwan; Klein,

Rhett Ronald; Le Donna, T.; Lew, Amy; Nuss, John M.;

Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P				KIND DATE			APPLICATION NO.						DATE					
							0506	WO 2004-US35470						20041022				
W(																		
	₩:	ΑE,	AG,	AL,	ΑM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NΙ,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
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		AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
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							CA 2004-2541989											
						EP 2004-796443												
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PRIORI:	IY APP	LN.	TNF.O	.:								5144.						
												5514.						
												US35			W 2	0041	022	
OTHER : GI	SOURCE	(S):			CAS:	REAC	T 14	2:44	7414	; MA	RPAT	142	:447	414				

AB Peptide derivs. I [E = C(R2)-substituted pyridine, pyridazine, pyrimidine, or 1,3,5-triazine; B = (R1)n; R1, R2 = H, halo, trihalomethyl, CN, NO2, aminoalkyl, carboxyalkyl, (un)substituted alky, alkenyl, alkynyl, aryl, heterocyclyl, heterocyclylalkyl, arylalkyl, etc.; X, Y = CO, O, (un)substituted amine, (un)substituted imine, SO; X and Y can combine to form either C(R3):C(R3), or C.tplbond.C; when X = O, (un)substituted amine, or (un)substituted imine, Y cannot be CH(R3); R3 = (un)substituted Ph, naphthyl, cyclohexyl, dihydronaphthyl, five- to six-membered heteroaryl; Z = O, S, double bond to an atom of B; A = single bond, NH, (un)substituted aminoalkyl,

aminoaryl, aminoarylalkyl, aminoheterocyclyl, aminoheterocyclylalkyl; J = (un)substituted five- to ten-membered aryl or heteroaryl, etc.; n = 0-5] or pharmaceutically acceptable salts, hydrates, or prodrugs were prepared as p70S6 kinase signal transduction inhibitors and cellular activities modulators for treating kinase-dependent diseases and conditions. Thus, compound II was prepared by coupling of 2-amino-4,6-di-chloro-5-formylpyrimidine with 2-amino-N-(3- trifluoromethylphenyl)acetamide in 43%yield and showed IC50 < 50 nM in p70S6 kinase activity assey.

IT 339156-77-9P 851333-72-7P 851333-76-1P 851334-00-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of peptidomimetics as p70S6 kinase inhibitors and cellular activities modulators for treating kinase-dependent diseases)

RN 339156-77-3 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851333-72-7 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851333-76-1 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[2-amino-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)

```
RN 851334-00-4 ZCAPLUS
```

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylsulfinyl)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

```
328285-74-1P 339582-02-4P 354553-01-8P
ΤT
     851332-56-4P 851332-65-5P 851332-76-8P
     851332-85-9P 851332-91-7P 851333-03-4P
     851333-17-0P 851333-22-7P 851333-24-9P
     851333-26-1P 851333-28-3P 851333-38-5P
     851333-60-3P 851333-61-4P 851333-62-5P
     851333-74-9P 851333-80-7P 851333-84-1P
     851333-86-3P 851333-88-5P 851333-90-9P
     851333-92-1P 851333-96-5P 851333-98-7P
     851334-02-6P 851334-06-0P 851334-08-2P
     851334-10-6P 851334-12-8P 851334-14-0P
     851334-16-2P 851334-18-4P 851334-20-8P
     851334-22-0P 851334-24-2P 851334-26-4P
     851334-28-6P 851334-29-7P 851334-30-0P
     851334-31-1P 851334-33-3P 851334-34-4P
     851334-35-5P 851334-36-6P 851334-37-7P
     851334-40-2P 851334-42-4P 851334-44-6P
     851334-45-7P 851334-47-9P 851334-48-0P
     851334-50-4P 851334-51-5P 851334-52-6P
     851334-53-7P 851334-54-8P 851334-55-9P
     851334-56-0P 851334-58-2P 851334-61-7P
     851334-62-8P 851334-63-9P 851334-64-0P
     851334-66-2P 851334-68-4P 851334-70-8P
     851334-72-0P 851334-74-2P 851334-76-4P
     851334-78-6P 851334-80-0P 851334-81-1P
     851334-82-2P 851334-83-3P 851334-84-4P
     851334-85-5P 851334-87-7P 851334-89-9P
     851334-90-2P 851334-91-3P 851334-93-5P
     851334-95-7P 851334-97-9P 851334-99-1P
     851335-00-7P 851335-02-9P 851335-04-1P
     851335-05-2P 851335-06-3P 851335-08-5P
     851335-11-0P 851335-13-2P 851335-15-4P
     851335-17-6P 851335-19-8P 851335-21-2P
     851335-24-5P 851335-26-7P 851335-27-8P
     851335-28-9P 851335-29-0P 851335-30-3P
     851335-31-4P 851335-34-7P 851335-35-8P
     851335-37-0P 851335-39-2P 851335-41-6P
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851335-43-8P 851335-45-0P 851335-46-1P 851335-47-2P 851335-48-3P 851335-49-4P 851335-50-7P 851335-51-8P 851335-53-0P 851335-55-2P 851335-56-3P 851335-57-4P 851335-59-6P 851335-60-9P 851335-62-1P 851335-64-3P 851335-65-4P 851335-66-5P 851335-67-6P 851335-68-7P 851335-70-1P 851335-71-2P 851335-72-3P 851335-73-4P 851335-75-6P 851335-77-8P 851335-78-9P RL: PAC (Pharmacological activity); SPN (Synthetic pr (Therapeutic use); BIOL (Biological study); PREP (Pre

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptidomimetics as p70S6 kinase inhibitors and cellular activities modulators for treating kinase-dependent diseases)

RN 328285-74-1 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-(4-chlorophenyl)- (CA INDEX NAME)

RN 339582-02-4 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-(3-butoxyphenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OBu-n} & \text{SMe} \\ \hline \\ \text{NH} & \text{C-CH}_2\text{-S} & \text{NH} \end{array}$$

RN 354553-01-8 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-2-pyridinyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{NC} & & \text{SMe} \\ \hline & & & \text{NH} & & \\ \hline & & & & \text{CH}_2 - \text{S} & & \\ \hline & & & & \text{NH}_2 \end{array}$$

RN 851332-56-4 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[5-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 851332-65-5 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-(3-methoxyphenyl)- (CA INDEX NAME)

RN 851332-76-8 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-(3-chlorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{SMe} \\ \hline \\ \text{NH} & \text{C} & \text{CH}_2 - \text{S} \\ \hline \end{array}$$

RN 851332-85-9 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]oxy]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \circ & \text{NC} \\ \hline & \text{NH} & \circ & \text{CH}_2 & \circ & \\ \hline & & \text{NH} & \end{array}$$

RN 851332-91-7 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-methyl-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} & \text{N$$

RN 851333-03-4 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851333-17-0 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[4-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{NC} & \text{SMe} \\ \hline \\ \text{NH-C-CH}_2\text{--S-NN} & \\ \end{array}$$

RN 851333-22-7 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851333-24-9 ZCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-amino-4-(methylthio)-6-[[2-oxo-1-[3-(trifluoromethyl)phenyl]-3-pyrrolidinyl]thio]- (CA INDEX NAME)

RN 851333-26-1 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[6-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 851333-28-3 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[4-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 851333-38-5 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]oxy]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

RN 851333-60-3 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[2-methyl-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851333-61-4 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[2-methoxy-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851333-62-5 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[2-chloro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF 3} & \text{O} & \text{NC} \\ \hline & \text{NH} - \text{C} - \text{CH}_2 - \text{S} & \text{NH}_2 \end{array}$$

RN 851333-74-9 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylamino)-4-pyrimidinyl]thio]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851333-80-7 ZCAPLUS

 $\texttt{CN} \qquad \texttt{Hydrazinecarboxamide,} \quad 2-[2-\texttt{amino}-5-\texttt{cyano}-6-(\texttt{methylthio})-4-\texttt{pyrimidinyl}]-\texttt{N-}$ 

[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851333-84-1 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(dimethylamino)-4-pyrimidinyl]thio]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851333-86-3 ZCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851333-88-5 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]oxy]-N-[3-(trifluoromethyl)phenyl]-, (2R)- (CA INDEX NAME)

RN 851333-90-9 ZCAPLUS

CN Cyclopropanecarboxamide, 1-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]oxy]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851333-92-1 ZCAPLUS

CN Butanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]oxy]-3-methyl-N-[3-(trifluoromethyl)phenyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851333-96-5 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851333-98-7 ZCAPLUS

CN Cyclopropanecarboxamide, 1-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851334-02-6 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylsulfonyl)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-06-0 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[3,5-bis(trifluoromethyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{NC} & \text{SMe} \\ \text{NC} & \text{CH}_2 - \text{S} & \text{NH}_2 \end{array}$$

RN 851334-08-2 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

RN 851334-10-6 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[2-methoxy-5-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-12-8 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[2-chloro-5-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{NH}_2 \\ \text{NH} \\ \text{NH}_2 \\ \text{NH}_2 \\ \text{SMe} \\ \text{SMe} \\ \text{CF}_3 \end{array}$$

RN 851334-14-0 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-2-methyl-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} NH2 \\ NH \\ NH \\ CF3 \end{array}$$

RN 851334-16-2 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-[(4-methyl-1-piperazinyl)carbonyl]phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-18-4 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-20-8 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(4-morpholinyl)-4-pyrimidinyl]thio]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851334-22-0 ZCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]-N-[3-(trifluoromethyl)phenyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-24-2 ZCAPLUS

CN Pentanamide, 5-amino-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-26-4 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl][2-(dimethylamino)ethyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851334-28-6 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

RN 851334-29-7 ZCAPLUS

CN Propanamide, 2-[(2,6-diamino-5-cyano-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & \text{Me} & \text{NH2} \\ & \text{NH2} & \text{NH2} \\ & \text{NH2} & \text{NH2} \\ & \text{NH2} & \text{NH2} \\ \end{array}$$

RN 851334-30-0 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-31-1 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

RN 851334-33-3 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-[2-(diethylamino)ethoxy]phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-34-4 ZCAPLUS

CN Hydrazinecarboxamide, 2-[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]-1,2-dimethyl-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851334-35-5 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-amino-5-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH} \\$$

RN 851334-36-6 ZCAPLUS

CN Acetic acid, 2-[1-[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]-2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]hydrazinyl]-, ethyl ester (CA INDEX NAME)

RN 851334-37-7 ZCAPLUS

CN Hydrazinecarboxamide, 2-[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]-2-methyl-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851334-40-2 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-1,6-dihydro-6-oxo-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

RN 851334-42-4 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl][(tetrahydro-2H-pyran-4-yl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 851334-44-6 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-[2-(dimethylamino)ethoxy]-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-45-7 ZCAPLUS

CN Carbamic acid, [(5S)-5-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-6-oxo-6-[[3-(trifluoromethyl)phenyl]amino]hexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 851334-47-9 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl][2-(tetrahydro-2H-pyran-4-yl)ethyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ NH2 & & \\ CH2 & \\ CH3 & \\ CF3 & \\ \end{array}$$

RN 851334-48-0 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-[[2-(diethylamino)ethyl]amino]-5-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-50-4 ZCAPLUS

CN Propanamide, 2,2'-[(2-amino-5-cyano-4,6-pyrimidinediyl)diimino]bis[N-[3-(trifluoromethyl)phenyl]-, (2S,2'S)- (9CI) (CA INDEX NAME)

RN 851334-51-5 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-N-(3-methylphenyl)- (CA INDEX NAME)

RN 851334-52-6 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-N-[3-(1-methylethyl)phenyl]- (CA INDEX NAME)

RN 851334-53-7 ZCAPLUS

CN Pentanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-5[[imino(nitroamino)methyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)(CA INDEX NAME)

RN 851334-54-8 ZCAPLUS

CN Benzoic acid, 3-[[(2S)-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-1-oxopropyl]amino]-5-(trifluoromethyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-55-9 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-(3-nitrophenyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-56-0 ZCAPLUS

CN Hexanamide, 6-amino-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

RN 851334-58-2 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-propoxy-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-61-7 ZCAPLUS

CN Pentanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-5[(aminoiminomethyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-62-8 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylsulfinyl)-4-pyrimidinyl]methylamino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

RN 851334-63-9 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)methylamino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-64-0 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-propoxy-4-pyrimidinyl)methylamino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-66-2 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)methylamino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

RN 851334-68-4 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(1-methylethoxy)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-70-8 ZCAPLUS

CN Pentanamide, 5-(acetylamino)-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-72-0 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-(3-aminophenyl)-, (2S)- (CA INDEX NAME)

RN 851334-74-2 ZCAPLUS

CN Benzamide, 3-[[(2S)-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-1-oxopropyl]amino]-N-[2-(dimethylamino)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-76-4 ZCAPLUS

CN Carbamic acid, [(4S)-4-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]pentyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-78-6 ZCAPLUS

CN Hydrazinecarboxamide, 2-(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)-N-[3-

(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851334-80-0 ZCAPLUS

CN Carbamic acid, [(4S)-4-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-81-1 ZCAPLUS

CN Pentanamide, 5-amino-2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-82-2 ZCAPLUS

CN Benzamide, 3-[[(2S)-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-1-oxopropyl]amino]-N-[2-(dimethylamino)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851334-83-3 ZCAPLUS

CN Benzamide, 3-[[(2S)-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-1-oxopropyl]amino]-N-[3-(4-methyl-1-piperazinyl)propyl]-5-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-84-4 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-N-[3-(trifluoromethoxy)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-85-5 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-N-(3-bromophenyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-87-7 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-N-[2-[2-(dimethylamino)ethoxy]-5-(trifluoromethoxy)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-89-9 ZCAPLUS

CN Benzamide, 3-[[(2S)-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-1-oxopropyl]amino]-N-[2-(4-morpholinyl)ethyl]-5-(trifluoromethoxy)- (CA INDEX NAME)

RN 851334-90-2 ZCAPLUS

CN Hexanamide, 6-amino-2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)methylamino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-91-3 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-[2-(dimethylamino)ethoxy]-5-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{NH} \end{array}$$

RN 851334-93-5 ZCAPLUS

CN Propanamide, 3-(acetyloxy)-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

RN 851334-95-7 ZCAPLUS

CN Pentanediamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N1-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851334-97-9 ZCAPLUS

CN Hydrazinecarboxamide, 2-(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851334-99-1 ZCAPLUS

CN Benzamide, 3-[[(2S)-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-1-oxopropyl]amino]-N-hydroxy-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851335-00-7 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-3-(dimethylamino)-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-02-9 ZCAPLUS

CN 4-Morpholinepentanamide,  $\alpha$ -[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-04-1 ZCAPLUS

CN Pentanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-5-[(2-methoxyethyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

RN 851335-05-2 ZCAPLUS

CN Benzoic acid, 3-[[(2S)-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-1-oxopropyl]amino]-5-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-06-3 ZCAPLUS

CN Pentanoic acid, 4-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]-, methyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-08-5 ZCAPLUS

CN Pentanamide, 5-[(aminocarbonyl)amino]-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-11-0 ZCAPLUS

CN Pentanoic acid, 4-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-13-2 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-3-hydroxy-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-15-4 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-3- (phenylmethoxy)-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-17-6 ZCAPLUS

CN Pentanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-5-[(aminoiminomethyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-19-8 ZCAPLUS

CN Carbamic acid, [(5S)-5-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]methylamino]-6-oxo-6-[[3-(trifluoromethyl)phenyl]amino]hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-21-2 ZCAPLUS

CN Benzenepropanamide,  $\alpha$ -[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-4-hydroxy-N-[3-(trifluoromethyl)phenyl]-, ( $\alpha$ S)-

(CA INDEX NAME)

Absolute stereochemistry.

RN 851335-24-5 ZCAPLUS

CN Hexanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-6-(dimethylamino)-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-26-7 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N-[3-[(4-methyl-1-piperazinyl)carbonyl]-5-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 851335-27-8 ZCAPLUS

CN Benzamide, 3-[[(2S)-2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-1-oxopropyl]amino]-N-[3-(1-pyrrolidinyl)propyl]-5-(trifluoromethyl)- (CA

INDEX NAME)

Absolute stereochemistry.

RN 851335-28-9 ZCAPLUS

CN Benzamide, 3-[[(2S)-2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-1-oxopropyl]amino]-N-[2-(4-morpholinyl)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-29-0 ZCAPLUS

CN Benzamide, 3-[[(2S)-2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-1-oxopropyl]amino]-N-[2-(dimethylamino)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851335-30-3 ZCAPLUS

CN Benzamide, 3-[[(2S)-2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-1-oxopropyl]amino]-N-[3-(4-methyl-1-piperazinyl)propyl]-5-(trifluoromethyl)-(CA INDEX NAME)

Absolute stereochemistry.

Me 
$$NH2$$
 $NH2$ 
 $NH3$ 
 $NH4$ 
 $N$ 

RN 851335-31-4 ZCAPLUS

CN Carbamic acid, [(5S)-5-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)methylamino]-6-oxo-6-[[3-(trifluoromethyl)phenyl]amino]hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-34-7 ZCAPLUS

CN Propanamide, 3-(acetyloxy)-2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-35-8 ZCAPLUS

CN Pentanoic acid, 4-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]-, phenylmethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-37-0 ZCAPLUS

CN 4-Morpholinepentanamide,  $\alpha$ -[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-39-2 ZCAPLUS

CN 1-Pentanaminium, 4-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N,N,N-

trimethyl-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-41-6 ZCAPLUS

CN Pentanoic acid, 4-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]-, methyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-43-8 ZCAPLUS

CN Hexanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-6-(dimethylamino)-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-45-0 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-5-cyano-6-ethoxy-4-pyrimidiny1)methylamino]-N-[3-mino-6-ethoxy-4-pyrimidiny1]methylamino]-N-[3-mino-6-ethoxy-4-pyrimidiny1]methylamino]-N-[3-mino-6-ethoxy-4-pyrimidiny1]methylamino-1-[3-mino-6-ethoxy-4-pyrimidiny1]methylamino-1-[3-mino-6-ethoxy-4-pyrimidiny1]methylamino-1-[3-mino-6-ethoxy-4-pyrimidiny1]methylamino-1-[3-mino-6-ethoxy-4-pyrimidiny1]methylamino-1-[3-mino-6-ethoxy-4-ethox

(trifluoromethoxy)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-46-1 ZCAPLUS

CN Pentanoic acid, 4-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-47-2 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)methylamino]-N-(3-bromophenyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-48-3 ZCAPLUS

CN Pentanediamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N1-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-49-4 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-3-(dimethylamino)-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-50-7 ZCAPLUS

CN Pentanoic acid, 4-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]-, hydrazide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-51-8 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)amino]-3-(dimethylamino)-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-53-0 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)amino]-N-[3-[2-(dimethylamino)ethoxy]-5-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{NH} \end{array}$$

RN 851335-55-2 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N-[3-[2-(dimethylamino)ethoxy]-5-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-56-3 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)methylamino]-N-(3-bromophenyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-57-4 ZCAPLUS

CN Pentanoic acid, 4-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]-, phenylmethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-59-6 ZCAPLUS

CN Propanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-3-(phenylmethoxy)-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-60-9 ZCAPLUS

CN Pentanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-5-[bis(2-methoxyethyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA

INDEX NAME)

Absolute stereochemistry.

RN 851335-62-1 ZCAPLUS

CN Carbamic acid, [(4S)-4-[(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)amino]-5-oxo-5-[[3-(trifluoromethyl)phenyl]amino]pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-64-3 ZCAPLUS

CN Pentanamide, 5-(acetylamino)-2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-65-4 ZCAPLUS

 $\label{eq:cn_sol} \text{CN} \quad \text{Propanamide, 2-[(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)methylamino]-N-[3-methoxy-4-pyrimidinyl)methylamino]-N-[3-methoxy-4-pyrimidinyl)methylamino]-N-[3-methoxy-4-pyrimidinyl)methylamino]-N-[3-methoxy-4-pyrimidinyl]methylamino]-N-[3-methoxy-4-pyrimidin$ 

(trifluoromethoxy)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-66-5 ZCAPLUS

CN Benzoic acid, 3-[[(2S)-2-[(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)amino]-1-oxopropyl]amino]-5-(trifluoromethyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-67-6 ZCAPLUS

CN Benzamide, 3-[[(2S)-2-[(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)amino]-1-oxopropyl]amino]-N-[2-(dimethylamino)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851335-68-7 ZCAPLUS

CN 4-Morpholinepropanamide,  $\alpha$ -[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-70-1 ZCAPLUS

CN 4-Morpholinepropanamide,  $\alpha$ -[(2-amino-5-cyano-6-methoxy-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-71-2 ZCAPLUS

CN 4-Morpholinepropanamide,  $\alpha$ -[(2-amino-5-cyano-6-ethoxy-4-pyrimidiny1)amino]-N-[3-(trifluoromethy1)pheny1]-, ( $\alpha$ S)- (CA INDEX NAME)

RN 851335-72-3 ZCAPLUS

CN Pentanamide, 5-[(aminocarbonyl)amino]-2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-73-4 ZCAPLUS

CN Hexanamide, 6-amino-2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-N-[3-(trifluoromethyl)phenyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-75-6 ZCAPLUS

CN Hexanamide, 6-amino-2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2R)- (CA INDEX NAME)

RN 851335-77-8 ZCAPLUS

CN Propanamide, 2-[(2-amino-5-cyano-6-ethoxy-4-pyrimidinyl)amino]-3-methoxy-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 851335-78-9 ZCAPLUS

CN Pentanamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]amino]-5-[(methylsulfonyl)amino]-N-[3-(trifluoromethyl)phenyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 851335-79-0P 851336-21-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptidomimetics as p70S6 kinase inhibitors and cellular activities modulators for treating kinase-dependent diseases)

RN 851335-79-0 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylsulfinyl)-4-pyrimidinyl]thio]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 851336-21-5 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-[2-amino-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L36 ANSWER 2 OF 15 ZCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:61837 ZCAPLUS Full-text

DOCUMENT NUMBER: 146:156236

TITLE: Cellular cholesterol absorption modifiers, and their

therapeutic use

INVENTOR(S): Gardiner, Elisabeth M.; Duron, Sergio G.; Massari,

Mark E.; Severance, Daniel L.; Semple, Joseph E.

PATENT ASSIGNEE(S): Kalypsys, Inc., USA SOURCE: PCT Int. Appl., 300pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					D	DATE			APPL	ICAT	DATE					
WO 2007008541 WO 2007008541				A2 20070118 A3 20070726				WO 2006-US26242						20060705		
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
	GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,
	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
	MW,	MX,	MZ,	NA,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,
	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW									
RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
	IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-697659P P 20050708

US 2005-697686P P 20050708 US 2005-697814P P 20050708 US 2005-727646P P 20051017

US 2006-782303P P 20060313

OTHER SOURCE(S): MARPAT 146:156236

AB The invention discloses compds. and methods useful as inhibitors of

cholesterol absorption for the treatment or prevention of vascular disease and atherosclerosis.

IT 328281-97-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(cholesterol absorption modifiers and therapeutic use)

RN 328281-97-6 ZCAPLUS

CN Acetamide, 2-[[2-amino-5-cyano-6-(methylthio)-4-pyrimidinyl]thio]-N-(4-methylphenyl)- (CA INDEX NAME)

L36 ANSWER 3 OF 15 MARPAT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 148:561895 MARPAT <u>Full-text</u>

TITLE: Multi-cyclic compounds as protein kinase inhibitors

and their preparation, pharmaceutical compositions and

use in the treatment of diseases

INVENTOR(S): Hodous, Brian L.; Geuns-Meyer, Stephanie D.; Olivieri,

Philip R.; Patel, Vinod F.; Tempest, Paul

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 71pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND D	DATE	APPLICATION NO.	DATE				
WO 2008057280	A1 2	20080515	WO 2007-US22712	20071025				
W: AE, AG	AL, AM,	AT, AU, AZ,	BA, BB, BG, BH, BR	, BW, BY, BZ, CA,				
CH, CN	CO, CR,	CU, CZ, DE,	DK, DM, DO, DZ, EC	, EE, EG, ES, FI,				
GB, GD	GE, GH,	GM, GT, HN,	HR, HU, ID, IL, IN	, IS, JP, KE, KG,				
KM, KN	KP, KR,	KZ, LA, LC,	LK, LR, LS, LT, LU	, LY, MA, MD, ME,				
MG, MK	MN, MW, I	MX, MY, MZ,	NA, NG, NI, NO, NZ	, OM, PG, PH, PL,				
PT, RC	RS, RU,	SC, SD, SE,	SG, SK, SL, SM, SV	, SY, TJ, TM, TN,				
TR, TT	TZ, UA,	UG, US, UZ,	VC, VN, ZA, ZM, ZW	T				
RW: AT, BE	BG, CH,	CY, CZ, DE,	DK, EE, ES, FI, FR	, GB, GR, HU, IE,				
IS, IT	LT, LU,	LV, MC, MT,	NL, PL, PT, RO, SE	, SI, SK, TR, BF,				
BJ, CF	CG, CI,	CM, GA, GN,	GQ, GW, ML, MR, NE	, SN, TD, TG, BW,				

GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-863172P 20061027

GΙ

The invention relates to compds. of formula I, synthetic intermediates, and AΒ pharmaceutical compns., comprising such compds. The compds. and compns. are capable of modulating various protein kinase receptors such as Tie-2 and Aurora and, therefore, influencing kinase related disease states and conditions. The compds., for example, are capable of treating cancer caused by unregulated angiogenesis, and inflammation as well as other proliferative disorders. Compds. of formula I wherein B1, B2, B3, B4, C1 and C2 are independently CR3 and N, provided that no more than two of B1, B2, B3 and B4 is N; D is 5-membered heteroaryl; L1 is NR3, O, S, CO, SO , SO2 and CR3R3; L2 is CO, SO2, NR3, (CR3R3)nCONR3, CO2, etc.; R1 is H, (un)substituted C1-10 alkyl, (un)substituted C2-10 alkenyl, (un)substituted C2-10 alkynyl, (un)substituted C3-7 cycloalkyl; R2 and each R3 are independently H, C1-10 alkyl, halo, CN, haloalkyl, NO2, NH2, etc.; R4 is (un)substituted C1-10 alkyl, (un) substituted C2-10 alkenyl and (un) substituted C2-10 alkyl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their protein kinase inhibitory activity.

### MSTR 1

G1 = 43-3 47-5

$$\begin{array}{c} 43 \\ \text{G2} \\ 47 \end{array}$$

G2 = CN / NH2G10 = 134 / 148

1945<u>195</u>7 1988<u>19</u>9

G12 = NH

G13 = G14

G14 = (1-2) CH2 (opt. substd.)

G17 = G29

G18 = 154-4 156-149

1543-C(O)\_562

G19 = G29G29 = Ph

Patent location: claim 1

Note: or pharmaceutically acceptable salts

Note: substitution is restricted

Note: additional substitution also claimed

Note: also incorporates claim 9

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 4 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 149:45205 MARPAT Full-text

TITLE: Isoindoles and derivatives, aryl and heterocyclic compounds as inhibitors of vascular endothelial growth

factor receptors, and use in the treatment of cancer

INVENTOR(S): Rathinavelu, Appu; Dakshanamurthy, Sivanesan;

Pattabiraman, Nagarajan

PATENT ASSIGNEE(S): Nova Southeastern University, USA

SOURCE: U.S. Pat. Appl. Publ., 17pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
US 20080139585 A1 20080612 US 2007-859235 20070921
PRIORITY APPLN. INFO:: US 2006-826390P 20060921

AB The invention describes isoindoles and derivs., aryl and heterocyclic compds., as well as pharmaceutically acceptable salt forms thereof, which are useful

inhibitors of VEGFR. The compds. of the invention are useful in the treatment of cancer.

### MSTR 1

Ģ19—G13—Ģ1

G1 = pyrimidinyl (opt. substd. by G2)

G2 = (up to 3) G4 G4 = 7 / CN

G13 = 336-1 339-3

HN-C(0)-CH2-3560)

G19 = Ph (opt. substd. by (1-3) G20)

Patent location: claim 1

Note: substitution is restricted

Note: additional ring formation also claimed Note: or pharmaceutically acceptable salts

Stereochemistry: or stereoisomers

L36 ANSWER 5 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 147:257799 MARPAT Full-text

TITLE: Preparation of (hetero)aryl ureas as cardiac sarcomere

modulators for the treatment of heart failure Morgan, Bradley P.; Muci, Alex; Kraynack, Erica; INVENTOR(S):

Tochimoto, Todd; Lu, Pu-Ping; Morgans, David J., Jr.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA PCT Int. Appl., 93pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND					DATE			A	PPLI	CATI	ои ис	٥.	DATE				
								_									
WO 2007089336 A2				2	2007	0809		W	0 20	06-U	S476	68	20061214				
W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
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	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	
	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	

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             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
                                           US 2006-639398
                                                            20061213
     US 20070197504
                      A1
                            20070823
     EP 1959963
                            20080827
                                           EP 2006-849957
                       Α2
                                                            20061214
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
             BA, HR, MK, RS
                                           US 2005-750986P 20051215
PRIORITY APPLN. INFO.:
                                           WO 2006-US47668 20061214
```

GΙ

Title compds. I [wherein W, X, Y, Z = CH or N; T = bond, (un)substituted AB alkylene, O, etc.; R18, R19 = H, (un) substituted alkyl, heteroaryl, etc.; R1 = (un) substituted alkyl, amino, (hetero) aryl or (hetero) cycloalkyl; R2 = (un) substituted (hetero) aryl, (hetero) aralkyl or (hetero) cycloalkyl; R3, R4, R5, R13 = H, halo, cyano, etc.; m = 1-3; R6, R7 = H, aminocarbonyl, alkoxycarbonyl, etc,; with limitations] and pharmaceutically acceptable salts, solvates, chelates, noncovalent complexes, prodrugs or mixts. thereof, which can selectively modulate the cardiac sarcomere such as by potentiating cardiac myosin, and therefore may be useful in the treatment of heart failure, were prepared For instance, successive EDC-mediated coupling of 4-chloro-3cyanobenzoic acid with 1-(tert-butoxycarbonyl)piperazine, reduction of the resultant cyanobenzamide to a benzylamine, addition reaction with 3isocyanato-6-methylpyridine, deprotection of the Boc group and reaction with mesyl chloride led to sulfonamide II.

#### MSTR 1

G1 = 67

6<sup>910</sup>-6<sup>811</sup>

G3 = CN

= 160-3 164-68G10



G11 = NH2G17 = 89

8628<del>-</del>618

= Ph (opt. substd.) G18

G19 = NH G22 = CH2G28 = NH

Patent location: claim 1

Note: substitution is restricted

Note: and pharmaceutically acceptable salts, solvates, chelates, non-covalent complexes, prodrugs and

Note: additional derivatization also claimed

L36 ANSWER 6 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 147:9935 MARPAT Full-text

TITLE: Preparation of substituted 2-aminopyrimidines for

treating or preventing  $A\beta$ -related pathologies

Albert, Jeffrey; Chessari, Gianni; Edwards, Phil INVENTOR(S): PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astex Therapeutics Ltd

SOURCE: PCT Int. Appl., 70pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAI	ENT.	NO.		KII	ND	DATE			A.	PPLI	CATI	ON NO	Ο.	DATE			
									_								
WO	2007	0585	81	A	1	2007	0524		W	0 20	06-s	E128	1	2006	1113		
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KN,
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,

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MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
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             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
                            20080806
                                           EP 2006-813004
     EP 1951681
                      Α1
                                                            20061113
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     IN 2008DN03799
                            20080815
                                                            20080505
                     Α
                                           IN 2008-DN3799
PRIORITY APPLN. INFO.:
                                           US 2005-737435P
                                                            20051115
                                           WO 2006-SE1281
                                                            20061113
```

GΙ

Title compds. represented by the formula I [wherein Q = (un)substituted (hetero)cycloalkyl or (hetero)aryl; L = alkenylene, alkylene, alkylene, etc., R1 = H, (halo)alkyl, Si(alkyl)3, etc.; R2 = halo or OR3; R3 = (cyclo)alkyl, (hetero)aryl, aralkyl, etc.; and their pharmaceutically acceptable salts, tautomers or in vivo hydrolyzable precursors], useful for treatment or prophylaxis of A $\beta$  related pathologies such as cognitive impairment, Alzheimer disease, neurodegeneration and dementia, were prepared For example, II•TFA was provided in a multi-step synthesis starting from 3-(3-bromophenyl)propionic acid. Compds. of the present invention have been shown to inhibit  $\beta$  secretase (including BACE) activity. Generally, the compds. of the present invention have been identified in one or both assays as having an IC50 of 100  $\mu$ M or less. Pharmaceutical compns. comprising compds. I, and methods of their use are disclosed.

#### MSTR 1

G1 = CN G11 = 85-4 88-81

8613-C(0)-G15-8613

G13 = G14

G14 = (0-3) CH2 (opt. substd.)

G15 = NH

G18 = m-C6H4 (opt. substd.)

Patent location: claim 1

Note: or pharmaceutically acceptable salts, tautomers, or

in-vivo hydrolyzable precursors

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 7 OF 15 MARPAT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 145:167238 MARPAT Full-text

TITLE: Preparation of pyrazolopyridines for the treatment of

diseases of dysregulated vascular growth

INVENTOR(S): Schwede, Wolfgang; Briem, Hans; Kuenzer, Hermann;

Husemann, Manfred; Kettschau, Georg; Schaefer, Martina; Ter Laak, Antonius; Thierauch, Karl-Heinz;

Martina; ler Laak, Antonius; Inlerauch, Kar

Ince, Stuart James

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	TENT	NO.		KI	ND	DATE			Α.	PPLI	CATI	ο.	DATE					
EP	1683	1683796			A1 20060726					EP 2005-75177 20050124								
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	
		BA,	HR,	IS,	YU													
WO	2006	0771	68	А	1	2006	0727		M	20	06-E	P623		2006	0120			
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,	
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	${\sf TZ}$ ,	UA,	UG,	US,	UZ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}$ ,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,	
								SD,	SL,	SZ,	${\sf TZ}$ ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	ΤJ,	$^{\mathrm{MT}}$											
EP	-	-							EP 2006-701504						20060120			
	R:			•	•					•				GB,		•	IE,	
		,	,	,	,	,	,	,	,	,	,	,	,	SI,	,	TR		
_	2008	-							_		-			2006				
					1	2006	1109		US 2006-337114									
RIT	Y APP	LN.	INFO	.:					EP 2005-75177 20050124									
									_	-		4740						
									M	0 20	06-E	20060120						

AB The title compds. I [R1 = alkyl, cycloalkyl, aryl, etc.; RA = H, (un)substituted alkyl; R2 = CONR7R77, SO2R7, CO2R7, etc.; R7, R77 = H, alkyl, cycloalkyl, etc.; Y1-Y5 = CH, CZ or N and N can stand from 0-3 times as a ring atom; Z = CN, NO2, halo, etc.; p = 0-4], useful for the treatment of diseases of dysregulated vascular growth or of diseases which are accompanied with dysregulated vascular growth, wherein the compds. I effectively interfere with angiopoietin and therefore influence Tie2 signaling, were prepared E.g., a multi-step synthesis of II, starting from 4-aminobenzyl alc. and isocyanatobenzene, was given. Some of the exemplified compds. I such as II show high potency activity as inhibitors of Tie2 kinase and/or Tie2 autophosphorylation as measured with the ELISA method (IC50 values are below 5 μM). Pharmaceutical compns. comprising the pyrazolopyridines I and method of preparing I were also disclosed.

MSTR 1

 $G2 = 35-6 \ 33-25$ 



G8 = 180

1889<del>1</del>529

G9 = N / 44

49——G10

G10 = CN / NH2

G17 = (1-4) CH2

G18 = NH G19 = 149

1960)—NH—G25

G25 = Ph (opt. substd. by G26)

G29 = 67-13 68-152

6917<del>6</del>918

Patent location: claim 1

Note: also incorporates claims 5 and 6

Note: substitution is restricted

Note: additional substitution also claimed

Note: and N-oxides, solvates, hydrates, isomers, and

salts

Stereochemistry: and diastereomers and enantiomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 8 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 138:122653 MARPAT Full-text

TITLE: Pyrimidine derivatives for treatment of

neurodegenerative diseases

INVENTOR(S): Fick, David B.; Foreman, Mark M.; Glasky, Alvin J.

PATENT ASSIGNEE(S): Neotherapeutics, Inc., USA SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2003007963 A1 20030130 WO 2002-US23246 20020717

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                            20030320
                                           US 2001-907273
                                                            20010717
     US 20030055249
                      A1
     AU 2002319634
                            20030303
                                           AU 2002-319634
                       Α1
                                                            20020717
     US 20040116453
                            20040617
                                           US 2003-648046
                       Α1
                                                            20030825
                                           US 2001-907273
PRIORITY APPLN. INFO.:
                                                            20010717
                                           WO 2002-US23246 20020717
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AB A pyrimidine derivative or analog comprises an amino-substituted six-membered heterocyclic moiety, moiety A, linked through a linker -L-C(0) - to a moiety B, where C(0)-B is a carboxylic acid, a carboxylic acid ester, or a moiety of the structure N(Y1)-D, where Y1 can be one of a variety of substituents, including H or alkyl, and D is a moiety that enhances the pharmacol. effects, promotes absorption, or promotes blood-brain barrier penetration of the derivative or analog. The moiety A can have two or three N atoms in the ring; typically, the moiety A is a pyrimidine moiety, with two N atoms in the ring. The moiety B can be one of a variety of moieties, including moieties having nootropic activity or other biol. or physiol. activity. All cited compds. (e.g. 4-[[3-[(2-amino-6-chloropyrimidin-4-yl)amino]propionyl]amino]benzoic acid Et ester) have nootropic or antiamnestic activity at doses of 10 mg/kg i.p. or less. Although the methods of preparation are not claimed, 3 example prepns. are included.

#### MSTR 1

 $\mbox{G1} \hdots \mbox{G1} \mbox{G1} \hdots \mbox{G21} \hdots \mbox{C(O)-G24}$ 

$$G1 = 10$$

$$G19 = CN$$
 $G21 = G29$ 
 $G24 = 80$ 

8 G 2 7—G 2 6

G26 = 99

G27 = NH

G29 = (1-6) CH2

Patent location: claim 1

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 9 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 136:102370 MARPAT Full-text

TITLE: Preparation of tetrahydropyridine or piperidine

heterocyclic derivatives and their affinity for CRF

receptors

INVENTOR(S): Nakazato, Atsuro; Kumagai, Toshihito; Okubo,

Taketoshi; Kameo, Kazuya

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.				ND	DATE			A.	PPLI	CATI	Ο.	DATE				
WO	2002	0025	 49	 A	1	2002	0110		M	0704							
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		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
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		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
CA	2412	287		А	1	2002	0110		C	A 20	01-2	4122	87	2001	0704		
AU	2001	0694	37	А		2002	0114		A	U 20	01-6	9437		2001	0704		
ΕP	1299	378		Α	1	2003	0409		E.	P 20	01-9	4781	9	2001	0704		
EP	1299	378		В	1	2007	0214										
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
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BR	2001	0121	66	А		2003	0902		В:	R 20	01-1	2166		2001	0704		
JΡ	2004	2004502685		Τ		2004	0129		J:	JP 2002-507801					0704		
${\tt TW}$				В		2004	0611		TW 2001-90116391					2001			
EE	200300007			Α		2004	0816		E.	E 20	03-7			20010704			

CN	1535968	A	20041013	CN	2004-10033876	20010704
AU	2001269437	B2	20051201	AU	2001-269437	20010704
AT	353885	T	20070315	AT	2001-947819	20010704
IN	2002KN01508	A	20040717	IN	2002-KN1508	20021210
ZA	2002010041	A	20031211	ZA	2002-10041	20021211
BG	107374	A	20040930	BG	2002-107374	20021211
NO	2002006125	A	20030204	NO	2002-6125	20021219
MX	2002PA12820	A	20030514	MX	2002-PA12820	20021219
US	20040034061	A1	20040219	US	2003-311277	20030825
US	6852732	B2	20050208			
HK	1057042	A1	20061013	HK	2003-109322	20031223
US	20050009874	A1	20050113	US	2004-912185	20040806
US	7160900	B2	20070109			
PRIORITY	APPLN. INFO.:			JΡ	2000-204021	20000705
				JΡ	2000-270535	20000906
				WO	2000-JP5806	20000704
				WO	2001-JP5806	20010704
				US	2003-311277	20030825

AB Tetrahydropyridine or piperidine heterocyclic derivs. with high affinity for CRF receptors were prepared E.g., 5-(4-carbamoyl-1,2,3,6- tetrahydropyridin-1-yl)-2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole was prepared by bromination of 2-(N-ethyl-2,4-dichloroanilino)-4- methylthiazole hydrochloride, followed by reaction with 5-carbamoyl-1,2,3,6- tetrahydropyridine hydrochloride.

#### MSTR 1

G1——911

$$G1 = 18$$



$$G3 = 33-2 33-19$$

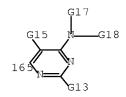


$$G6 = 35$$

G7 = 38

3N G8

G8 = Ph G11 = 165



G13 = 284

 $28 \frac{14}{614}$ 

G15 = CN

Patent location: claim 1

Note: or pharmaceutically acceptable salts or hydrates

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 10 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 133:309900 MARPAT Full-text

TITLE: Preparation of oxopyrimidinealkanoates and analogs as

integrin receptor ligands

INVENTOR(S): Zechel, Johann-Christian; Kling, Andreas; Geneste,

Herve; Lange, Udo; Lauterbach, Arnulf; Graef, Claudia

Isabella; Subkowski, Thomas; Sadowski, Jens;

Hornberger, Wilfried

PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 301 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,

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ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     DE 19916719
                       A1
                           20001019
                                           DE 1999-19916719 19990413
     DE 19962998
                       Α1
                            20010712
                                           DE 1999-19962998 19991224
     CA 2368049
                       Α1
                            20001019
                                           CA 2000-2368049 20000329
     AU 2000041129
                                           AU 2000-41129
                       Α
                            20001114
                                                             20000329
     EP 1171435
                                           EP 2000-920612
                       Α2
                            20020116
                                                             20000329
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     BR 2000009739
                            20020409
                                           BR 2000-9739
                                                             20000329
                       Α
     TR 200102944
                            20020821
                                           TR 2001-2944
                                                             20000329
                       Τ2
     JP 2002541243
                       Τ
                            20021203
                                           JP 2000-610827
                                                             20000329
     JP 3936844
                       В2
                            20070627
     HU 2002003338
                                           HU 2002-3338
                                                             20000329
                       Α2
                            20030328
     BG 105979
                       Α
                            20020628
                                           BG 2001-105979
                                                             20011004
     MX 2001PA10232
                            20020327
                                           MX 2001-PA10232
                       Α
                                                             20011010
     NO 2001004961
                            20011107
                                           NO 2001-4961
                                                             20011012
                       Α
     US 7125883
                            20061024
                                           US 2002-958491
                                                             20020618
                       В1
PRIORITY APPLN. INFO.:
                                           DE 1999-19916719 19990413
                                           DE 1999-19962998 19991224
                                           WO 2000-EP2746
                                                            20000329
```

GΙ

AB BGUT [B = a structural element containing ≥1 atom capable of forming a H-bond under physiol. conditions (sic); G = (un)substituted divalent oxopyrimidine group I; T = CO2H or a group hydrolizable to CO2H; U = bond, (heteroatom-interrupted)(oxo)alkylene, (hetero)arylene, etc.] were prepared as integrin receptor ligands (no data). Thus, ROCCH(NHCbz)CH2NH2 (R = resin) was cyclocondensed with R1CH:CMeCSNHCO2Et (preparation given) to give a resinbound oxothioxopyrimidine which was treated with BrCN and the product condensed with 1-(2-pyridinyl)piperidine-4-methanamine (preparation given) to give, after resin cleavage, title compound II.

MSTR 1B

ç30—<u>ç</u>1——<u>ç</u>10

Patent location:

Note:

G1 = 34

$$3 = 34$$

G2 = 6-1 9-3 11-4 10-5

$$4 = NN \text{ (opt. substd.)}$$

$$63 = 631 / 230$$

$$258^{2}73^{2}1$$

G31 = 232

$$4 = 375 - 2 376 - 231 / 377 - 2 378 - 231 / 379 - 2 381 - 231$$

$$363^{3}3^{3}3^{4}4 = 36^{3}3^{2}3^{4}6^{4} = 36^{3}3^{2}3^{2}6^{4}$$

G33 = 0

G34 = 236

$$3 = 0$$

$$33 = 0$$

$$33 = 0$$

$$33 = 0$$

$$33 = 0$$

$$33 = 0$$

$$33 = 0$$

$$33 = 0$$

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$$33 = 0$$

$$33 = 0$$

$$33 = 0$$

$$33 = 0$$

$$33 = 0$$

$$33 = 0$$

$$34 = 236$$

claim 1

additional ring formation and interruptions also

claimed

Note: and physiologically acceptable salts, prodrugs and

tautomers

Note: substitution is restricted

Stereochemistry: and enantiomers or diastereomers

L36 ANSWER 11 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 127:331500 MARPAT Full-text

TITLE: New  $\alpha$ -hydroxy acid derivatives as endothelin

receptor antagonists

INVENTOR(S): Klinge, Dagmar; Amberg, Wilhelm; Baumann, Ernst;

Kling, Andreas; Riechers, Hartmut; Unger, Liliane; Raschack, Manfred; Hergenroeder, Stefan; Schult,

Sabine

PATENT ASSIGNEE(S): BASF A.-G., Germany SOURCE: Ger. Offen., 45 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.		KI	ND	DATE		Al	PPL:	CATI	ОИ И	Ο.	DATE					
						19971016				DE 1996-196145			19960412					
									CA 1997-2250757									
WO	9738	981		А	1	1997	1023		WO 1997-EP1688					1997	0404			
	W:	ΑU,	BG,	BR,	CA,	CN,	CZ,	GE,	HU,	IL,	JP,	KR,	LV,	MX,	NO,	NZ,	PL,	
		RO,	RU,	SG,	SI,	SK,	TR,	UA,	US,	AM,	AZ,	BY,	KG,	KΖ,	MD,	ТJ,	TM	
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE
AU	9726	365		А		20010405			AU 1997-26365						0404			
EP	8927	87		А	A1 19990127				El	2 19	997-918110			1997	0404			
EP	8927	87		В	1	2003	0611											
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	
		SI,	FI,	RO														
CN	1222	146		А		1999	0707		CI	N 19	997-1	9548	8	1997	0404			
BR	9708	608		А		1999	0803		BI	R 19	97-8	608		1997	0404			
						1999	0830		H	J 19	1999-1312			19970404				
HU	9901	312		A.	3	20000628												
NZ	3320	96		Α		2000	0128		N	Z 19	997-3	3209	6	1997	0404			
JP	2000 2427	50832	26	Τ		2000	0704		JI	2 19	97-5	3669	9	1997	0404			
AT	2427	70		Τ		2003	0615		A.	Г 19	97-9	1811	0	1997	0404			
	1997													1997				
ZA	9703	097		Α		1998	1012		ZZ	A 19	997-3	097		1997	0411			
TW	4253	83		В		2001	0311		TI	W 19	997-8	6104	727	1997	0412			
US	6686	369		В	1									1998				
	9804								N(	) 19	998-4	717		1998	1009			
ИО	NO 311801					2002	0128											
KR	KR 2000005369					2000	0125		KI	R 19	998-7	0809	1	1998	1010			
PRIORIT	ORITY APPLN. INFO								DI	I 19	96-1	9614	533	1996	0412			
									M(	) 19	997-E	P168	8	1997	0404			
OTHED C	OLIDOR	(C) .			C7 C	י איז מי	т 10	7.22	1 5 0 0									

OTHER SOURCE(S): CASREACT 127:331500

GΙ

Ι

Title compds. I [R = CO2H, CO2Me, CN; R1 = Me, Et; R2 = Me, OMe] were prepared for use as endothelin receptor antagonists (no data). Thus, MeCPh2CN was reductively hydrolyzed to MeCPh2CHO which was treated with KCN to give MeCPh2CH(OH)CN. This nitrile was hydrolyzed to the acid and treated with 4,6-dimethyl-2-chloropyrimidine to give I [R = CO2H, R1, R2 = Me].

#### MSTR 1

$$G2 = 38$$

$$G14$$
 = Ph (opt. substd.)  
 $G15$  = N  
 $G17$  = NH2

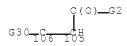
$$G17 = NI$$

$$G19 = 3$$

$$G21 = N$$
  
 $G24 = 80$ 

$$G25 = CN$$

$$G31 = 106-82 \ 105-7 \ 106-83$$



Patent location: claim 1

Note: substitution is restricted

Note: additional ring formation also claimed

L36 ANSWER 12 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 126:330625 MARPAT Full-text

TITLE: Preparation of (carboxymethylamino)heteroarenes as

endothelin antagonists.

INVENTOR(S): Klinge, Dagmar; Amberg, Wilhelm; Kling, Andreas;

Riechers, Hartmut; Unger, Liliane; Raschack, Manfred

PATENT ASSIGNEE(S): BASF A.-G., Germany SOURCE: Ger. Offen., 71 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	TENT	NO.		KIND DA		DATE	DATE			PPLI	CATI	ON N	Ο.	DATE				
	CA	2231	500		A1		19970410						2315	536891 19951004 31500 19960926					
	WO	W:	AU, RO,	BG, RU,	BR, SG,	CA, SI,	, CN, , SK,	CZ, TR,	GE, UA,	HU, US,	IL, AM,	JP, AZ,	KR, BY,	LV, KG,	MX, KZ,	NO, MD,	TJ,	TM	
	AU						1997										,	,	
							1999												
	EP	8748	29		А	1	1998	1104		E	P 19	96-9	3339	8	1996	0926			
		R:	ΑT,	BE,	CH,	DE.	, DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	PT,	ΙE,	
			SI,	FI															
							1998												
	HU	9900	085		A	2	1999	0428		H	J 19	99-8	5		1996	0926			
	HU	9900	085		A.	3	2001	1128											
	BR	9610	821		Α		1999	0713		B	R 19	96-1	0821		1996	0926			
	JP	2000	5007	38	T		2000	0125		J]	P 19	97-5	1394	6	1996	0926			
	IL	1236	11		A		2001	0826		I	և 19	96-1	2361	1	1996	0926			
	ZA	9608	304		А		1998	0403		$Z_{i}$	A 19	96-8	304		1996	1003			
							2005												
	US	6440	975		В	1	2002	0827		U	S 19	98-5	1020		1998	0331			
	BG	6338	9		В	1	2001	1231		В	G 19	98-1	0236	2	1998	0401			
							1998			No	O 19	98-1	522		1998	0403			
	ИО	3110	25		В	1	2001	1001											
PRIC	RIORITY APPLN. INFO			.:					D	E 19	95-1	9536	891	1995	1004				
										M	O 19	96-E	P420	5	1996	0926			
						~ -	~ ~	m 10		0.00									

OTHER SOURCE(S): CASREACT 126:330625

GΙ

Title compds. [I; R = CHO, tetrazolyl, cyano, CO2H, group hydrolyzable to AΒ CO2H; R2, R3 = H, halo, alkyl, haloalkyl, alkoxy, haloalkoxy, OH, SH, alkylthio, NO2, amino, cyano, (substituted) Ph, heteroaryl; R2X, R3Y = atoms to form 5-6 membered (substituted) rings; W = N, CNO2, CH; X = N, CR15; Y, = N, CR16; R15, R16 = H, alkyl, alkoxy, alkylthio, NO2, Ph, OH, SH, halo, amino, cyano; R4 = H, alkyl, cycloalkyl, (substituted) Ph, naphthyl, 5-6 membered heteroaryl; R5 = alkyl, cycloalkyl, (substituted) Ph, naphthyl; R6 = H, alkyl, haloalkyl; Q = bond, CO, CO2; Z = bond, O, S, SO, SO2; R7 = H, alkyl, alkenyl, alkynyl, with provisos], were prepared as cardiovascular agents (no data). Thus, N-diphenylmethyleneglycine Me ester in THF was treated with LDA and then with di(3-methoxyphenyl)methyl bromide (preparation given) at  $-78^{\circ}$  to give Me2-N- (diphenylmethylene)amino-3,3-di(3-methoxyphenyl)propionate. This was hydrolyzed to give 2-amino-3,3-di(3-methoxyphenyl)propionic acid, which was heated with 4,6-dimethoxy-2-methylsulfonylpyrimidine and Na2CO3 in DMF/H2O to give 3,3-di(3-methoxyphenyl)-2-(4,6-dimethoxypyrimidin-2-yl)propionic acid.

MSTR 1A

G1\_\_\_G2

G1 = 4

$$G2 = 5$$

G10 = Ph (opt. substd.)

G11 = N

G13 = NH2

G14 = N

G16 = 69

$$G17 = CN$$
 $G27 = 7$ 

$$G28 = 41$$



Patent location: claim 1

additional ring formation also claimed Note:

substitution is restricted Note:

MSTR 18

G1<u> </u>G2

G1 = 4

$$G2 = 5$$

$$G10$$
 = Ph (opt. substd.)  
 $G11$  = N  
 $G13$  = NH2

G14 = N G16 = 69

69----G17

G17 = CN

G27 = 7

9(0)-G28

G28 = 41

4N G10

Patent location: claim 1

Note: additional ring formation also claimed

Note: substitution is restricted

L36 ANSWER 13 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 124:288982 MARPAT <u>Full-text</u>

TITLE: Method for preparing alkylating agents and their use

for alkylating cyclic ureas

INVENTOR(S): Jadhav, Prabhakar Kondaji; Emmett, George Clautice;

Pierce, Michael Ernest

PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA

SOURCE: PCT Int. Appl., 134 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

P.	ATENT NO.	KI	ND DATE		APPLICATION NO	. DATE
	 O 9600708	A	1996	0111	WO 1995-US8204	19950628
	W: AU,	CA, JP,	MX, NZ			
	RW: AT,	BE, CH,	DE, DK,	ES, FR,	GB, GR, IE, IT,	LU, MC, NL, PT, SE
U	S 5637780	A	1997	0610	US 1994-268610	19940630
A	U 9529137	A	1996	0125	AU 1995-29137	19950628
A	U 697035	Е	1998	0924		
E	P 767770	A	1997	0416	EP 1995-924750	19950628
	R: AT,	BE, CH,	DE, DK,	ES, FR,	GB, GR, IE, IT,	LI, LU, NL, PT, SE
J	P 10502620	T	1998	0310	JP 1995-503442	19950628
PRIORI	TY APPLN.	INFO.:			US 1994-268610	19940630
					US 1993-40146	19930330
					WO 1995-US8204	19950628
OTUED	SOLIDOR (S).		CASDEAC	т 124.29	9992	

OTHER SOURCE(S): CASREACT 124:288982

GΙ

The invention relates to methods for preparing alkylating agents and use of AΒ the prepared agents. In particular, it relates to preparation methods for hydroxy halide and organooxy halide alkylating agents, and their use for alkylating cyclic ureas in the preparation of HIV protease inhibitors. For example, partial chlorination of 1,4-benzenedimethanol with SOC12 in CHC13 at  $0^{\circ}$  to room temperature gave 75% 4-(HOCH2)C6H4(CH2C1). This chloro alc. reacted with Ph3CCl and Ph3COMe in PhMe and heptane, in the presence of a catalytic amount of 32% HCl, under reflux with partial distillation of solvent, to give 79% of the protected alkylating agent 4-(Ph3COCH2)C6H4(CH2Cl). This was used to alkylate the cyclic urea intermediate I [R1 = R2 = H; R3R4 = acetonide (CMe2)], using KOBu-tert in THF at  $20-35^{\circ}$ , to give crystalline I [R1 = R2 = CH2C6H4(CH2OCPh3)-4; R3R4 = CMe2] in 91% yield. This was deprotected with 32% HCl, in MeOH-PhMe, with NaOH workup, to give the desired product I [R1 = R2 = CH2C6H4(CH2OH)-4; R3 = R4 = H], in 100% yield, on a 1.12-kg scale.

## MSTR 1

G6\_\_\_G8

$$G1 = (1-3) CH2$$
  
 $G6 = 12$ 

19----G7

$$G7 = CONHPh$$
 $G8 = 2 / 15$ 

G10 = pyrimidinyl (substd. by 1 or more G11)  
G11 = (1) 
$$45$$
 / CN / NH2

451-G5

Patent location: claim 1

L36 ANSWER 14 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 125:35786 MARPAT Full-text

TITLE: Reactive UV absorbers for stabilizing cellulosic

fibers and increasing their sun protection factor

INVENTOR(S): Fuso, Francesco; Reinert, Gerhard

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.; Ciba Specialty Chemicals

Holding Inc.

SOURCE: Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.		KIN	1D	DATE			API	PLICATION NO.	DATE
EP	7070			A1		1996			EP	1995-810625	19951004
EP	7070 R·	CH,	DE,	B1 ES.		20030 GB	1326 IT,	T.T			
TW	3833	•	DL,	В	\	2000			TW	1995-84109971	19950925
ES	2193	181		Т3	3	2003	1101		ES	1995-810625	19951004
BR	9504	387		Α		19970	0527		BR	1995-4387	19951011
US	5700	295		Α		1997	1223		US	1995-541007	19951011
AU	9534	241		А		1996	1426		AU	1995-34241	19951012
AU	6975	81		В2	2	1998	1008				
ZA	9508	595		А		19960	)515		ZA	1995-8595	19951012
CN	1125	235		Α		1996	0626		CN	1995-117292	19951012
CN	1075	090		С		2001	1121				
JP	0819	3072		Α		1996	730		JP	1995-264455	19951012
JP	4067	586		B2	2	20080	0326				
PRIORIT	Y APP	LN.	INFO.	:					СН	1994-3080	19941013
GI											

AB Compds. such as I are prepared and reacted with cellulosic fabrics to improve their resistance to photochem. degradation and enhance the protection of skin against UV radiation when the fabrics are used for clothing. Cyanuric chloride was reacted with N-(3-aminophenyl)-N'-(2-ethoxy-5- sulfophenyl)oxamide and H2N-p-C6H4SO2CH2CH2OSO3H to give I which was reacted with cotton fabric.

#### MSTR 1

G1 = 21

 $G18 = 306-8 \ 307-2 \ / \ 317-8 \ 313-2$ 

 $_{3}$ G20 $_{\overline{3}}$ G21  $_{3}$ G22 $_{\overline{3}}$ C(0) $_{\overline{3}}$ G21

G20 = G52 G21 = O G22 = NH G23 = 328

32<del>8</del> G24

G24 = CN

G25 = NH2 (opt. substd.)

G52 = (1-2) CH2

Patent location: claim 1

Note: substitution is restricted

L36 ANSWER 15 OF 15 MARPAT COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 122:216574 MARPAT Full-text

TITLE: Azo dyes, inks containing them, and recording method

and instrument using the inks

INVENTOR(S): Eida, Tsuyoshi; Nishiwaki, Osamu; Yamamoto, Takaou;

Mafune, Kumiko

PATENT ASSIGNEE(S): Canon K. K., Japan SOURCE: Eur. Pat. Appl., 70 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PA'	TENT 1	. O <i>V</i>		KIN	1D	DATE			AP	PLICATI	ОИ ИО	٠.	DATE			
	6264			A1			41130		EP	1994-1	.07608	7608		)517		
EP	6264 R:	_		B1 CH,		2000 , DK,		FR,	GB, G	GR, IE,	IT,	LI	, LU,	NL,	PT,	SE
JP	0632	9931	•	Å		1994	1129	Í	JР	1993-1	.16075	,	19930	)518	•	
JP	0632	9944		А		1994	1129		JP	1993-1	16076		19930	)518		
JP	0632	9932		Α		1994	1129		JP	1993-1	.16185	,	19930	)518		
JP	0632	9945		А		1994	1129		JP	1993-1	16186		19930	)518		
US	5466	282		Α		1995	1114		US	1994-2	41592		19940	)512		
AT	1969	17		T		2000	1015		AT	1994-1	.07608		19940	)517		
PRIORIT	Y APP	LN.	INFO	.:					JP	1993-1	.16075		19930	)518		
										1993-1			19930			
										1993-1			19930	)518		
									JP	1993-1	.16186		19930	)518		
GI																

NaO3S

The azo dye contains a structural unit XY(R1)(R2)(R3)k [R1 is N(CH2CH2OH)2, NHCH2CH2OH, amino acid residue; R2 is H, OH, NH2, CN, oxo, N(CH2CH2OH)2, NHCH2CH2OH, amino acid residue; R3 is H, OH, NH2, CN, oxo; X is a linking group; Y is a 6-membered ring containing 2-3 N; k = 0, 1]. Inks containing these dyes provide images with high optical d. and negligible feathering of dots, permit fast fixing, and are waterfast when used in copying on plain paper. Thus, 2,4-Me2C6H3NH2 was diazotized and coupled with H acid under alkaline conditions, and the product was condensed consecutively with cyanuric chloride and glycine to give I. An ink formulation comprised diethylene glycol 15, 2-pyrrolidinone 5, EtOH 3, I 3, and water 74 weight%, adjusted to pH 9.0-9.5.

## MSTR 3

G1——G2

G1 = 20

2911<del>2</del>910

$$G2 = 407$$

$$G13 \underbrace{\begin{array}{c} G13 \\ 407 \end{array}}_{N} N G13$$

$$G10 = 24-21 27-2$$

$$G11 = 74$$

$$\begin{array}{c} \text{Me} \\ \text{Ne} \\$$

G13 = NH2 / CN Patent location:

Note:

claim 1

substitution is restricted

L30 L31

L32

L33

#### => d his full (FILE 'HOME' ENTERED AT 15:17:20 ON 01 OCT 2008) FILE 'REGISTRY' ENTERED AT 15:17:27 ON 01 OCT 2008 STRUCTURE UPLOADED L1L2 7 SEA SSS SAM L1 L3 STRUCTURE UPLOADED 7 SEA SSS SAM L3 L4D SCA D STAT OUE L4 L5 STRUCTURE UPLOADED 7 SEA SSS SAM L5 L6 L7 156 SEA SSS FUL L5 SAVE TEMP JAI653STR5L/A L7 FILE 'ZCAPLUS' ENTERED AT 15:39:52 ON 01 OCT 2008 2 SEA ABB=ON PLU=ON L7 L8 FILE 'BEILSTEIN' ENTERED AT 15:40:03 ON 01 OCT 2008 D 1.5 L9 0 SEA SSS SAM L5 0 SEA SSS FUL L5 L10 FILE 'WPIX' ENTERED AT 15:40:39 ON 01 OCT 2008 8 SEA SSS SAM L5 L11 L12 49 SEA SSS FUL L5 L13 1 SEA ABB=ON PLU=ON L12/DCR STRUCTURE UPLOADED L14 L\*\*\* DEL 8 S L14 FILE 'MARPAT' ENTERED AT 15:43:35 ON 01 OCT 2008 1 SEA SSS SAM L14 L15 L16 15 SEA SSS FUL L14 L17 13 SEA ABB=ON PLU=ON L16/COM FILE 'ZCAPLUS' ENTERED AT 15:47:24 ON 01 OCT 2008 3072 SEA ABB=ON PLU=ON CHENG W?/AU 20 SEA ABB=ON PLU=ON CO E?/AU L18 L19 L20 19185 SEA ABB=ON PLU=ON KIM M?/AU L21 2503 SEA ABB=ON PLU=ON KLEIN R?/AU 3726 SEA ABB=ON PLU=ON LE D?/AU 6 SEA ABB=ON PLU=ON TSUHAKO A?/AU L23 149 SEA ABB=ON PLU=ON NUSS J?/AU L24 9524 SEA ABB=ON PLU=ON XU W?/AU L25 5 SEA ABB=ON PLU=ON L18 AND (L19 OR L20 OR L21 OR L22 OR L23 L26 OR L24 OR L25) L27 8 SEA ABB=ON PLU=ON L19 AND (L20 OR L21 OR L22 OR L23 OR L24 OR L25) L28 16 SEA ABB=ON PLU=ON L20 AND (L21 OR L22 OR L23 OR L24 OR L25) L29 5 SEA ABB=ON PLU=ON L21 AND (L22 OR L23 OR L24 OR L25)

FILE 'MEDLINE, EMBASE, BIOSIS, WPIX' ENTERED AT 15:49:10 ON 01 OCT 2008

24 SEA ABB=ON PLU=ON (L26 OR L27 OR L28 OR L29 OR L30 OR L31 OR

6 SEA ABB=ON PLU=ON L22 AND (L23 OR L24 OR L25)

2 SEA ABB=ON PLU=ON L23 AND (L24 OR L25)

13 SEA ABB=ON PLU=ON L24 AND L25

L32)

L34 23 SEA ABB=ON PLU=ON L33

FILE 'REGISTRY' ENTERED AT 15:49:38 ON 01 OCT 2008

FILE 'ZCAPLUS' ENTERED AT 15:49:41 ON 01 OCT 2008

D STAT QUE L33

FILE 'MEDLINE, EMBASE, BIOSIS, WPIX' ENTERED AT 15:49:50 ON 01 OCT 2008

D STAT QUE L34

FILE 'ZCAPLUS, MEDLINE, BIOSIS, WPIX' ENTERED AT 15:50:05 ON 01 OCT 2008 L35 31 DUP REM L33 L34 (16 DUPLICATES REMOVED)

ANSWERS '1-24' FROM FILE ZCAPLUS ANSWER '25' FROM FILE MEDLINE ANSWER '26' FROM FILE BIOSIS ANSWERS '27-31' FROM FILE WPIX

D IBIB ABS L35 1-24 D IALL L35 25-31

FILE 'REGISTRY' ENTERED AT 15:51:12 ON 01 OCT 2008

FILE 'ZCAPLUS' ENTERED AT 15:51:15 ON 01 OCT 2008

D STAT QUE L8

FILE 'BEILSTEIN' ENTERED AT 15:51:24 ON 01 OCT 2008

D STAT QUE L10

FILE 'WPIX' ENTERED AT 15:51:33 ON 01 OCT 2008

D STAT QUE L13

FILE 'MARPAT' ENTERED AT 15:51:40 ON 01 OCT 2008

D STAT QUE L17

FILE 'ZCAPLUS, WPIX, MARPAT' ENTERED AT 15:52:01 ON 01 OCT 2008
L36

15 DUP REM L8 L10 L13 L17 (1 DUPLICATE REMOVED)

ANSWERS '1-2' FROM FILE ZCAPLUS

ANSWERS '3-15' FROM FILE MARPAT

D IBIB ABS HITSTR L36 1-2

D IBIB ABS QHIT L36 3-15

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the  ${\tt ZIC/VINITI}$  data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 SEP 2008 HIGHEST RN 1055704-91-0 DICTIONARY FILE UPDATES: 30 SEP 2008 HIGHEST RN 1055704-91-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information

on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

FILE ZCAPLUS

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FILE COVERS 1907 - 1 Oct 2008 VOL 149 ISS 14 FILE LAST UPDATED: 30 Sep 2008 (20080930/ED)

ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN
FILE LAST UPDATED ON April 1, 2008

FILE COVERS 1771 TO 2008.
FILE CONTAINS 10.322,808 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*

- \* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.
- \* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE
- \* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE
- \* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.
- \* FOR PRICE INFORMATION SEE HELP COST

\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*

>>> Price change as of January 1st, 2008: Connect Time and Structure Search fees re-introduced. See NEWS and HELP COST <<<

FILE WPIX

FILE LAST UPDATED: 30 SEP 2008 <20080930/UP>

MOST RECENT UPDATE: 200862 <200862/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.1 million chemical structures in DCR <<<

>>> IPC Reform backfile reclassifications have been loaded to the end of
June 2008. No update date (UP) has been created for the
reclassified documents, but they can be identified by
20060101/UPIC and 20061231/UPIC, 20070601/UPIC, 20071001/UPIC,
20071130/UPIC, 20080401/UPIC and 20080701/UPIC.
ECLA reclassifications to June and US national classifications to
the end of April 2008 have also been loaded. Update dates
20080401 and 20080701/UPEC and /UPNC have been assigned to these. <<</pre>

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:

http://www.stn-international.de/training\_center/patents/stn\_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE <a href="http://scientific.thomsonreuters.com/support/patents/coverage/latestupdate">http://scientific.thomsonreuters.com/support/patents/coverage/latestupdate</a>

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0: http://www.stn-international.com/archive/presentations/DWPIAnaVist2\_0608.p

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

#### FILE MARPAT

FILE CONTENT: 1961-PRESENT VOL 149 ISS 12 (20080926/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20080194825 14 AUG 2008
DE 102007007185 14 AUG 2008
EP 1956050 13 AUG 2008
JP 2008182009 07 AUG 2008
WO 2008102086 28 AUG 2008
GB 2444641 11 JUN 2008
FR 2912404 15 AUG 2008
RU 2330029 27 JUL 2008
CA 2615024 14 JUN 2008

Expanded G-group definition display now available.

Effective December 15th the iteration and answer limits in MARPAT have increased from 100,000 to 200,000 for both on-line and batch searches. For more information on MARPAT search limits, type HELP SLIMITS at an arrow prompt.

## FILE MEDLINE

FILE LAST UPDATED: 1 Oct 2008 (20081001/UP). FILE COVERS 1949 TO DATE.

MEDLINE has been updated with the National Library of Medicine's revised 2008 MeSH terms. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

MEDLINE Accession Numbers (ANs) for records from 1950-1977 have been converted from 8 to 10 digits. Searches using an 8 or 10 digit AN will retrieve the same record. The 10-digit ANs can be expanded, searched, and displayed in all records from 1949 to the present.

#### FILE EMBASE

FILE COVERS 1974 TO 1 Oct 2008 (20081001/ED)

EMBASE was reloaded on March 30, 2008.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Beginning January 2008, Elsevier will no longer provide EMTREE codes as part of the EMTREE thesaurus in EMBASE. Please update your current-awareness alerts (SDIs) if they contain EMTREE codes.

For further assistance, please contact your local helpdesk.

FILE BIOSIS

FILE COVERS 1926 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 24 September 2008 (20080924/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

Uploading L5.str

 $0^{*}$   $0^{*}$   $0^{*}$   $10^{*}$   $11^{*}$   $11^{*}$ 

chain nodes :
7 8 22
ring nodes :
1 2 3 4 5 6 25 26 27 28 29 30
ring/chain nodes :
9 10 11 12 18 19 21 24
chain bonds :
2-7 5-8 21-22
ring/chain bonds :
6-18 18-19 19-21 21-24 24-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-30 26-27 27-28 28-29 29-30
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 4-5 5-6 5-8 6-18 18-19 19-21 21-22 21-24 24-27
25-26 25-30 26-27 27-28 28-29 29-30

G2:[\*1],[\*2],[\*3],[\*4]

G3:C,N

## Connectivity:

7:1 E exact RC ring/chain 21:3 E exact RC ring/chain 22:1 E exact RC ring/chain

## Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 18:CLASS 19:CLASS 21:CLASS 22:CLASS 24:CLASS 25:Atom 26:Atom 27:Atom 29:Atom 30:Atom

 $0^{*}$   $0^{*}$   $0^{*}$   $0^{*}$   $1^{*}$   $1^{*}$   $1^{*}$   $1^{*}$ 

chain nodes :
7 8 22
ring nodes :
1 2 3 4 5 6 25 26 27 28 29 30
ring/chain nodes :
9 10 11 12 18 19 21 24
chain bonds :
2-7 5-8 6-18 18-19 19-21 21-22 21-24 24-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-30 26-27 27-28 28-29 29-30
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 4-5 5-6 5-8 6-18 18-19 19-21 21-22 21-24 24-27
25-26 25-30 26-27 27-28 28-29 29-30

G2:[\*1],[\*2],[\*3],[\*4]

G3:C,N

Connectivity:

7:1 E exact RC ring/chain 21:3 E exact RC ring/chain 22:1 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 18:CLASS 19:CLASS 21:Atom 22:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

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